

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
30 May 2002 (30.05.2002)

PCT

(10) International Publication Number  
**WO 02/42272 A2**

(51) International Patent Classification<sup>7</sup>: **C07D 213/00**

(21) International Application Number: **PCT/US01/43280**

(22) International Filing Date:  
20 November 2001 (20.11.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/252,158 20 November 2000 (20.11.2000) US  
Not furnished 7 November 2001 (07.11.2001) US

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(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **SUBSTITUTED POLYCYCLIC ARYL AND HETEROARYL PYRIDINES USEFUL FOR SELECTIVE INHIBITION OF THE COAGULATION CASCADE**

(57) Abstract: The present invention relates to compounds, and prodrugs thereof, composition and methods useful for preventing and treating thrombotic conditions in mammals. The compounds of the present invention, and prodrugs thereof, selectively inhibit certain proteases of the coagulation cascade.

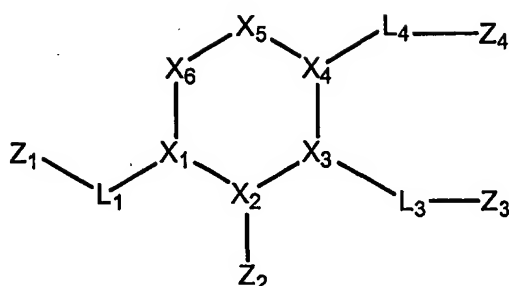


**WO 02/42272 A2**

## CLAIMS:

What we claim is:

1. A compound having the structure:



wherein

$X_1$ ,  $X_2$ ,  $X_3$ ,  $X_4$ ,  $X_5$ , and  $X_6$  are each ring atoms defining a 6 membered heterocyclic or aromatic ring;

$X_1$ ,  $X_2$ , and  $X_4$  are independently carbon or nitrogen;  
 $X_3$  is carbon;

$X_5$  and  $X_6$  are independently carbon, nitrogen, oxygen or sulfur, provided at least one of  $X_1$ ,  $X_4$ , and  $X_6$  is other than carbon when  $X_2$  is carbon;

$L_1$ ,  $L_3$  and  $L_4$  are linkages through which  $Z_1$ ,  $Z_3$ , and  $Z_4$ , respectively, are covalently bonded to different ring atoms of the 6 membered heterocyclic or aromatic ring defined by  $X_1$ ,  $X_2$ ,  $X_3$ ,  $X_4$ ,  $X_5$ , and  $X_6$ , wherein  $Z_1$  is covalently bonded to  $X_1$ ,  $Z_3$  is covalently bonded to  $X_3$ , and  $Z_4$  is covalently bonded to  $X_4$ , each of  $L_1$ ,  $L_3$  and  $L_4$  independently being a covalent bond or comprising one or more atoms through which  $Z_1$ ,  $Z_3$ , and  $Z_4$  are covalently bonded to  $X_1$ ,  $X_3$  and  $X_4$ , respectively;

$Z_3$  is a substituted hydrocarbyl, or a 5 or 6 membered substituted heterocyclic or aromatic ring, the substituents of the hydrocarbyl or ring comprising an amidine, guanidine, amino, or aminoalkyl group, the ring

atoms of the 5 or 6 membered heterocyclic or aromatic ring of  $Z_3$  being carbon, sulfur, nitrogen, or oxygen, wherein the 5 or 6 membered ring is optionally substituted at any position with halogen, hydroxy, or alkyl;

$Z_4$  comprises hydrocarbyl, substituted hydrocarbyl or a 5 or 6-membered heterocyclic ring, the ring atoms of the 5 or 6-membered heterocyclic ring being carbon, sulfur, nitrogen or oxygen;

$Z_1$  is hydrogen, hydrocarbyl, or substituted hydrocarbyl; and

$Z_2$  is a hydrogen bond acceptor covalently or datively bonded to  $X_2$ .

2. The compound of claim 1 wherein

$Z_3$  comprises a 5 or 6 membered heterocyclic or aromatic ring substituted with an amidine group, the ring atoms of the 5 or 6 membered heterocyclic or aromatic ring of  $Z_3$  being carbon, sulfur, nitrogen, or oxygen, wherein the 5 or 6 membered ring is optionally substituted at any position with halogen, hydroxy, or alkyl;

$Z_4$  comprises a 5 or 6 membered heterocyclic or carboxylic ring, the ring atoms of the 5 or 6 membered heterocyclic or carboxylic ring of  $Z_4$  being carbon, nitrogen, oxygen, or sulfur; and

$Z_1$  is hydrocarbyl or substituted hydrocarbyl.

3. The compound of claim 2 wherein the 5 or 6 membered heterocyclic or carbocyclic ring comprising  $Z_4$  is substituted with two substituents,  $R_{42}$  and  $R_{44}$ , and two ring atoms each of which is in the beta position relative to the ring atom of  $Z_4$  through which  $Z_4$  is covalently linked to  $X_4$ , wherein one of  $R_{42}$  and  $R_{44}$  is covalently bonded to one of said beta positions and the other of  $R_{42}$  and  $R_{44}$  is covalently bonded to the other of said beta

positions.

4. The compound of claim 3 wherein  $R_{42}$  is amino and  $R_{44}$  is hydrogen, hydrocarbyl, substituted hydrocarbyl, heterocyclo, halogen, or a substituted or unsubstituted heteroatom selected from nitrogen, oxygen, sulfur and phosphorous.

5. The compound of claim 2 wherein the 5 or 6 membered heterocyclic or aromatic ring comprising  $Z_3$  is optionally substituted at any position with fluorine, methyl or hydroxy.

6. The compound of each of claims 1, 2 or 3 wherein the 5 or 6 membered heterocyclic or aromatic ring comprising  $Z_3$  is substituted with a derivatived amidine which, upon hydrolysis, oxidation, reduction or elimination yields an amidine group.

7. The compound of claim 1 or 2 wherein  $L_3$  is selected from the group consisting of a glycine derivative, an alanine derivative, an amino derivative, or a sulfonyl derivative.

8. The compound of claim 1 or 2 wherein  $L_1$  is covalently bonded directly to  $X_6$  to form a fused ring.

9. The compound of claim 1 or 2 wherein  $L_1$  is  $-X_9NH-$  wherein  $X_9$  is covalently bonded directly to  $Z_1$  and  $X_9$  is a direct bond or  $-(CH_2)_m-$  wherein  $m$  is 1 to 5.

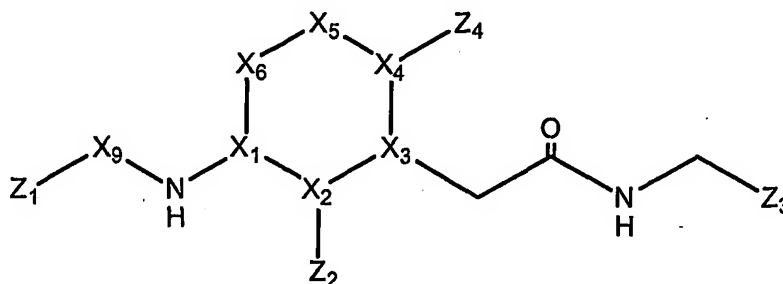
10. The compound of each of claims 1, 2 or 3 wherein  $L_3$  is  $-CH_2CONHCH_2-$ .

11. The compound of claim 3 wherein  $R_{44}$  is hydrogen, hydrocarbyl, substituted hydrocarbyl, heteroaryl,



heterocyclo, halogen, acetamido, guanidino, hydroxy,  
 nitro, amino, amidosulfonyl, acylamido, hydrocarbyloxy,  
 substituted hydrocarbyloxy, hydrocarbylthio, substituted  
 hydrocarbylthio, hydrocarbylsulfonyl, or substituted  
 hydrocarbylsulfonyl.

12. The compound of claim 2 having the structure:



Wherein

Z<sub>1</sub>, Z<sub>2</sub>, Z<sub>3</sub>, X<sub>1</sub>, X<sub>2</sub>, X<sub>3</sub>, X<sub>4</sub>, X<sub>5</sub>, and X<sub>6</sub> are as defined in claim 2;

X<sub>9</sub> is a direct bond or -(CH<sub>2</sub>)<sub>m</sub>- where m is 1 or 2; and  
 Z<sub>4</sub> is as defined in claim 3.

13. The compound of claim 12 wherein Z<sub>1</sub>, Z<sub>2</sub>, Z<sub>3</sub>, and Z<sub>4</sub> are as defined in claim 6.

14. The compound of each of claims 2, 3 or 12 wherein X<sub>2</sub> is carbon and Z<sub>2</sub> is hydrogen, fluorine, oxygen, or sulfur.

15. The compound of each of claims 2, 3 or 12 wherein X<sub>2</sub> is nitrogen and Z<sub>2</sub> is hydrogen, an electron pair, or a hydrogen bond acceptor.

16. The compound of each of claims 2, 3 or 12 wherein X<sub>2</sub> is nitrogen and Z<sub>2</sub> is hydrogen or oxygen.

17. The compound of each of claims 2, 3 or 12 wherein X<sub>5</sub> is carbon optionally substituted with a

halogen.

18. The compound of each of claims 2, 3 or 12  
wherein  $Z_3$  is  $-R_{300}C(=NR_{301})NR_{302}R_{303}$ , wherein  $R_{300}$  is a 6  
membered carbocyclic aromatic ring,  $R_{301}$ ,  $R_{302}$ ,  $R_{303}$  are  
5 independently selected from hydrogen, optionally  
substituted hydrocarbyl, and optionally substituted  
hetero atoms selected from the group consisting of  
halogen, oxygen, nitrogen, phosphorous and sulfur.

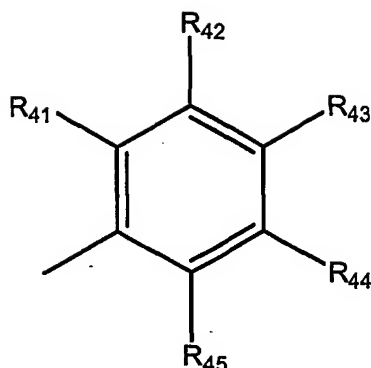
19. The compound of each of claims 2, 3 or 12  
10 wherein  $Z_3$  is  $-R_{300}C(=NR_{301})NR_{302}R_{303}$ ,  $R_{300}$  is a 6 membered  
carbocyclic aromatic ring, and at least two of  $R_{301}$ ,  $R_{302}$ ,  
 $R_{303}$  are ring atoms of a heterocyclic ring.

20. The compound of each of claims 2, 3 or 12  
wherein  $Z_3$  is  $-R_{300}C(=NR_{301})NR_{302}R_{303}$ ,  $R_{300}$  is a 6 membered  
15 carbocyclic aromatic ring, and at least one of  $R_{301}$ ,  $R_{302}$ ,  
 $R_{303}$  are ring atoms of a heterocyclic ring fused to  $R_{300}$ .

21. The compound of claim 20 wherein  $Z_3$  is benzene  
substituted with a derivatived amidine which, upon  
20 hydrolysis, oxidation, reduction or elimination under  
physiological conditions yields an amidine group.

22. The compound of claim 21 wherein  $Z_4$  is a  
substituted, 6 member, carbocyclic aromatic ring.

23. The compound of each of claims 2, 3, or 12  
25 wherein  $Z_4$  is



R<sub>42</sub> is amino;

R<sub>44</sub> is hydrocarbyl, substituted hydrocarbyl, haloen or an optionally substituted hetero atom selected from the group consisting of oxygen, nitrogen, and sulfur; and

5 R<sub>41</sub>, R<sub>43</sub> and R<sub>45</sub> are independently hydrogen, and hydrocarbyl, substituted hydrocarbyl, halogen or an optionally substituted hetero atom selected from the group consisting of oxygen, nitrogen, and sulfur.

10 24. The compound of claim 23 wherein R<sub>44</sub> is hydrocarbyl, substituted hydrocarbyl, acetamido, alkoxy, hydroxy, amino, alkylsulfonyl, haloalkyl, haloalkoxy, haloalkylthio, carboalkoxy, carboxy, carboxamidoalkyl, or carboxamidoalkylaryl.

15 25. The compound of claim 23 wherein each of R<sub>41</sub>, R<sub>43</sub> and R<sub>45</sub> are hydrogen.

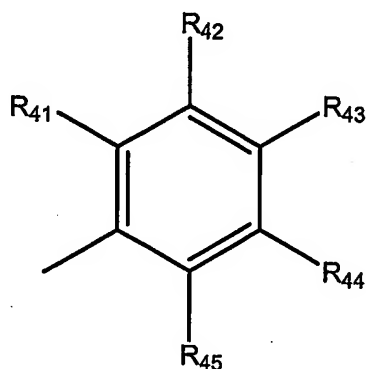
20 26. The compound of claim 12 wherein X<sub>9</sub> is a direct bond, Z<sub>1</sub> is selected from the group consisting of cyclopropyl, isopropyl, cyclobutyl, isobutyl, sec-butyl, methyl, ethyl, and phenyl, and Z<sub>3</sub> is benzene substituted with an amidine group.

27. The compound of claim 12 wherein Z<sub>3</sub> is benzene substituted with a derivatized amidine which, upon

hydrolysis, oxidation, reduction or elimination under physiological conditions yields an amidine group.

28. The compound of claim 12 wherein  $X_9$  is a direct bond,  $Z_4$  is a substituted, 6 member, carbocyclic aromatic ring,  $Z_3$  is benzene substituted with a derivatized amidine which, upon hydrolysis, oxidation, reduction or elimination under physiological conditions yields an amidine group, and  $Z_1$  is selected from the group consisting of cyclopropyl, isopropyl, methyl, ethyl, cyclobutyl, isobutyl, sec-butyl, and phenyl.

29. The compound of claim 12 or 28 wherein  $X_9$  is a direct bond,  $Z_1$  is isopropyl,  $Z_3$  is benzene substituted with a derivatized amidine which, upon hydrolysis, oxidation, reduction or elimination under physiological conditions yields an amidine group, and  $Z_4$  is



$R_{42}$  is amino;

$R_{44}$  is hydrocarbyl, substituted hydrocarbyl, halogen or an optionally substituted hetero atom selected from the group consisting of oxygen, nitrogen, and sulfur; and

$R_{41}$ ,  $R_{43}$  and  $R_{45}$  are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, halogen or an optionally substituted hetero atom selected from the group consisting of oxygen, nitrogen, and sulfur.

30. The compound of claim 29 wherein  $R_{44}$  is selected

from the group consisting of hydroxy, alkylsulfonyl, haloalkyl, haloalkoxy, haloalkylthio, carboxamidoalkyl, and carboxamidoalkylaryl.

5 31. The compound of claim 29 wherein  $R_{44}$  is hydrocarbyl, substituted hydrocarbyl, acetamido, alkoxy, hydroxy, amino, alkylsulfonyl, haloalkyl, haloalkoxy, haloalkylthio, carboalkoxy, carboxy, carboxamidoalkyl, or carboxamidoalkylaryl.

10 32. The compound of claim 29 wherein each of  $R_{41}$ ,  $R_{43}$  and  $R_{45}$  is hydrogen.

15 33. The compound of each of claims 2, 3, or 12 wherein  $Z_3$  comprises a 5 or 6 membered heterocycle or aromatic ring substituted with a derivatized amidine which, upon hydrolysis under physiological conditions, yields an amidine group, the amidine being derivatized with one or more groups selected from carbonyl, thiocarbonyl, imino, enamino, phosphorus, and sulfur.

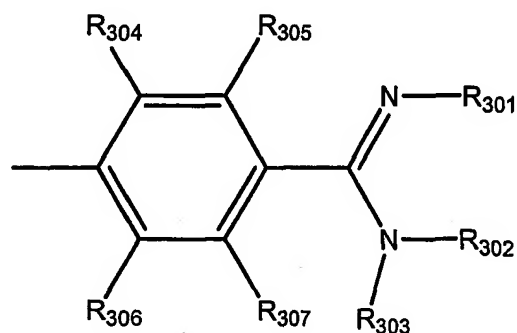
20 34. The compound of each of claims 2, 3, or 12 wherein  $Z_3$  comprises a 5 or 6 membered heterocycle or aromatic ring substituted with a derivatized amidine which, upon oxidation under physiological conditions yields an amidine group, the amidine being derivatized with one or more groups selected from the groups consisting of (i) optionally substituted hydrocarbyl provided that the carbon atom directly bonded to the  
25 amidine is  $sp^3$  hybridized, and (ii) aryl.

30 35. The compound of each of claims 2, 3, or 12 wherein  $Z_3$  comprises a 5 or 6 membered heterocycle or aromatic ring substituted with a derivatized amidine which, upon reduction under physiological conditions yields an amidine group, the amidine being derivatized

with one or more hetero atoms selected from the group consisting of oxygen, nitrogen in its most reduced state, and sulfur in its most reduced state.

36. The compound of each of claims 2, 3, or 12 wherein  $Z_3$  comprises a 5 or 6 membered heterocycle or aromatic ring substituted with a derivatized amidine which, upon elimination under physiological conditions yields an amidine group, the amidine being derivatized with one or more groups selected from the groups consisting of a hydrocarbyl substituted at the beta carbon with carbonyl, sulfonyl, sulfinyl, cyano and nitro or an alkyl group substituted with oxygen, nitrogen, or sulfur at the carbon directly bonded to the amidine group.

37. The compound of claim 33 wherein  $Z_3$  is a benzamidine derivative which hydrolyzes under physiological conditions to form benzamidine, the benzamidine derivative having the formula



$R_{301}$ ,  $R_{302}$ , and  $R_{303}$  are independently selected from the group consisting of hydrogen,  $C(=O)R$ ,  $S(=O)OR$ ,  $S(=O)SR$ ,  $S(=O)_2OR$ ,  $S(=O)_2SR$  and alkenyl, provided that the carbon atom directly bonded to the amidine is  $sp^2$  hybridized, provided, however, at least one of  $R_{301}$ ,  $R_{302}$ , and  $R_{303}$  is other than hydrogen;

$R$  is hydrocarbyl, substituted hydrocarbyl, or heterocycle;

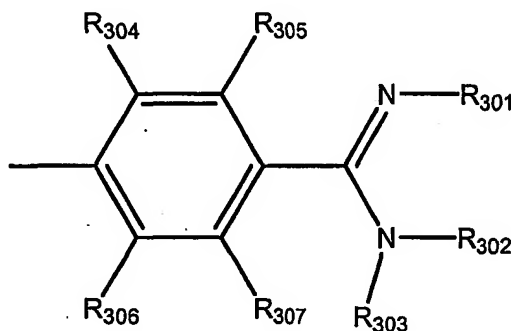
$R_{304}$  is halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio;

$R_{305}$  is oxygen, sulfur, halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio;

5  $R_{306}$  is halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio; and

$R_{307}$  is oxygen, sulfur, halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio.

10 38. The compound of claim 34 wherein  $Z_3$  is a benzamidine derivative which oxidizes under physiological conditions to form benzamidine, the benzamidine derivative having the formula



15  $R_{301}$ ,  $R_{302}$ , and  $R_{303}$  are independently selected from the group consisting of hydrogen, optionally substituted hydrocarbyl and aryl, provided, however, (i) at least one of  $R_{301}$ ,  $R_{302}$ , and  $R_{303}$  is other than hydrogen and (ii) the carbon atom directly bonded to the amidine is  $sp^3$  hybridized when  $R_{301}$ ,  $R_{302}$ , and  $R_{303}$  is optionally substituted hydrocarbyl;

20  $R_{304}$  is halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio;

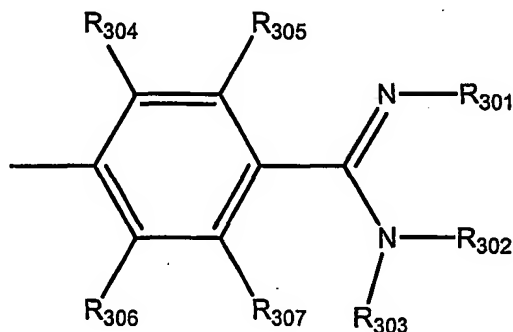
$R_{305}$  is oxygen, sulfur, halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio;

25  $R_{306}$  is halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio; and

$R_{307}$  is oxygen, sulfur, halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio.

302

39. The compound of claim 35 wherein  $Z_3$  is a benzamidine derivative which is reduced under physiological conditions to form benzamidine, the benzamidine derivative having the formula



5  $R_{301}$ ,  $R_{302}$ , and  $R_{303}$  are independently hydrogen, -OR, -SR, -NR, or -N(R)<sub>2</sub>, wherein each R is independently optionally substituted hydrocarbyl, or heterocylo, provided, however, at least one of  $R_{301}$ ,  $R_{302}$ , and  $R_{303}$  is other than hydrogen;

10  $R_{304}$  is halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio;

$R_{305}$  is oxygen, sulfur, halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio;

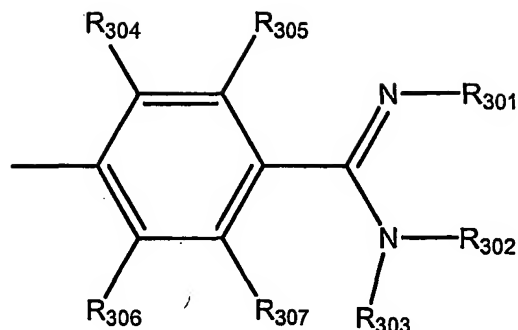
15  $R_{306}$  is halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio; and

$R_{307}$  is oxygen, sulfur, halogen, hydrogen, hydroxyl, sulfhydryl, alkoxy, and alkylthio.

20 40. The compound of claim 36 wherein  $Z_3$  is a benzamidine derivative which undergoes an elimination reaction under physiological conditions to form benzamidine, the benzamidine derivative having the formula



303



$R_{301}$ ,  $R_{302}$ , and  $R_{303}$  are independently (i) hydrogen,  
(ii) substituted hydrocarbyl wherein the carbon bonded to  
the amidine group is substituted with  $-OR_a$ ,  $-SR_a$ ,  $-NR_a$ , or  
 $-N(R_a)_2$ , wherein each  $R_a$  is independently  $-C(O)R_b$ ,  
5  $-C(O)NR_b$ ,  $-C(O)N(R_b)_2$  and each  $R_b$  is independently  
hydrocarbyl, substituted hydrocarbyl or heterocyclo,  
(iii) substituted alkyl with the carbon atom beta to the  
point of attachment to the amidine group being an  
unsaturated electron withdrawing group, provided, at  
10 least one of  $R_{301}$ ,  $R_{302}$ , and  $R_{303}$  is other than hydrogen;

$R_{304}$  is halogen, hydrogen, hydroxyl, sulfhydryl,  
alkoxy, and alkylthio;

$R_{305}$  is oxygen, sulfur, halogen, hydrogen, hydroxyl,  
sulfhydryl, alkoxy, and alkylthio;

15  $R_{306}$  is halogen, hydrogen, hydroxyl, sulfhydryl,  
alkoxy, and alkylthio; and

$R_{307}$  is oxygen, sulfur, halogen, hydrogen, hydroxyl,  
sulfhydryl, alkoxy, and alkylthio.

20 41. The compound of claim 37 wherein  $R_{301}$  and  $R_{305}$   
together with the benzene ring of which  $R_{305}$  is a  
substituent form a fused ring.

25 42. The compound of claim 38 wherein  $R_{301}$  and  $R_{305}$   
together with the benzene ring of which  $R_{305}$  is a  
substituent form a fused ring.

43. The compound of claim 39 wherein  $R_{301}$  and  $R_{305}$  together with the benzene ring of which  $R_{305}$  is a substituent form a fused ring.

5           44. The compound of claim 40 wherein  $R_{301}$  and  $R_{305}$  together with the benzene ring of which  $R_{305}$  is a substituent form a fused ring.

10           45. The compound of claim 41 wherein  $R_{301}$  and one of  $R_{302}$  and  $R_{303}$  together with the nitrogen atoms to which they are bonded form a 5 or 6 membered heterocyclic ring.

46. The compound of claim 45 wherein the ring atoms are selected from carbon, nitrogen and oxygen.

15           47. The compound of claim 37 wherein the derivatized amidine upon oxidation, reduction or elimination under physiological conditions yields an amidine group.

20           48. The compound of claim 38 wherein the derivatized amidine upon hydrolysis, reduction or elimination under physiological conditions yields an amidine group.

49. The compound of claim 39 wherein the derivatized amidine upon hydrolysis, oxidation, or elimination under physiological conditions yields an amidine group.

25           50. The compound of claim 40 wherein the derivatized amidine upon hydrolysis, oxidation, or reduction under physiological conditions yields an amidine group.

51. The compound of each of claims 1-3 or 12 wherein  $X_1$  is carbon.

52. The compound of each of claims 1-3 or 12 wherein  $X_1$  is nitrogen.

5 53. The compound of each of claims 1-3 or 12 wherein  $X_2$  is carbon.

54. The compound of each of claims 1-3 or 12 wherein  $X_2$  is nitrogen.

10 55. The compound of each of claims 1-3 or 12 wherein  $X_3$  is carbon.

56. The compound of each of claims 1-3 or 12 wherein  $X_4$  is carbon.

57. The compound of each of claims 1-3 or 12 wherein  $X_4$  is nitrogen.

15 58. The compound of each of claims 1-3 or 12 wherein  $X_5$  is carbon.

59. The compound of each of claims 1-3 or 12 wherein  $X_5$  is nitrogen.

20 60. The compound of each of claims 1-3 or 12 wherein  $X_5$  is oxygen.

61. The compound of each of claims 1-3 or 12 wherein  $X_5$  is sulfur.

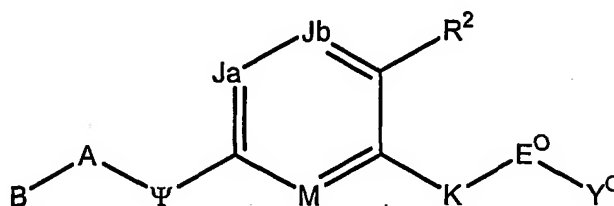
62. The compound of each of claims 1-3 or 12 wherein  $X_6$  is carbon.

63. The compound of each of claims 1-3 or 12 wherein  $X_6$  is nitrogen.

64. The compound of each of claims 1-3 or 12 wherein  $X_6$  is oxygen.

65. The compound of each of claims 1-3 or 12 wherein  $X_6$  is sulfur.

66. The compound of claim 1 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

M is N or  $N \rightarrow O$ ;

B is selected from the group consisting of:

(i) phenyl or a heteroaryl of 5 or 6 ring members, wherein a nitrogen with a removable hydrogen or a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , a nitrogen with a removable hydrogen or a carbon at the other position adjacent to the point of attachment is optionally substituted by  $R^{36}$ , a nitrogen with a removable hydrogen or a carbon adjacent to  $R^{32}$  and two atoms from the point of attachment is optionally substituted by  $R^{33}$ , a nitrogen with a removable hydrogen or a carbon adjacent to  $R^{36}$  and two atoms from the point of attachment is optionally substituted by  $R^{35}$ , and a nitrogen with a removable hydrogen or a carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

(ii) hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally

substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ; and

(iii) C3-C12 cycloalkyl or C4-C9 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen atom adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;

$R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, alkoxyalkyl, haloalkoxylalkyl, hydroxy, amino, alkoxyamino, nitro, alkylamino, N-alkyl-N-aryl amino, arylamino, aralkylamino, heteroaryl amino, heteroaralkyl amino, heterocyclyl amino, heterocyclylalkyl amino, alkylthio, alkylthioalkyl, alkylsulfinyl, arylsulfinyl, aralkylsulfinyl,

cycloalkylsulfinyl, heteroarylsulfinyl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, alkylsulfonylalkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboxyalkyl, carboalkoxy, carboxy, carboxamido, carboxamidoalkyl, and cyano;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are selected from the group consisting of:

(i) hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, alkoxyalkyl, haloalkoxyalkyl, hydroxy, amino, alkoxyamino, nitro, alkylamino, N-alkyl-N-arylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylthio, alkylthioalkyl, alkylsulfinyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, alkylsulfonylalkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboxyalkyl, carboalkoxy, carboxy, carboxamido, carboxamidoalkyl, and cyano; and

(ii)  $Q^b$ ;

A is selected from the group consisting of a bond,

$(W^7)_{rr} - (CH(R^{15}))_{pa}$ , and  $(CH(R^{15}))_{pa} - (W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 6, and  $W^7$  is selected from the group consisting of O, S, C(O),  $(R^7)NC(O)$ ,  $(R^7)NC(S)$ , and  $N(R^7)$  with the proviso that no

more than one of the group consisting of rr and pa is 0 at the same time;

R<sup>7</sup> is selected from the group consisting of hydrido, hydroxy, and alkyl;

5 R<sup>15</sup> is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

Ψ is NH or NOH;

Ja is N or C-X<sup>0</sup>;

Jb is N or C-R<sup>1</sup>;

10 X<sup>0</sup> is selected from the group consisting of:

(i) hydrido, alkyl, alkenyl, cyano, halo, haloalkyl, haloalkoxy, haloalkylthio, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

15 R<sup>1</sup> is selected from the group consisting of:

(i) hydrido, alkyl, alkenyl, cyano, halo, haloalkyl, haloalkoxy, haloalkylthio, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

20 (ii) taken with X<sup>0</sup> or R<sup>2</sup> to form -W=X-Y=Z-; wherein -W=X-Y=Z- forms an aryl or C5-C6 heteroaryl; and

(iii) taken with X<sup>0</sup> or R<sup>2</sup> bonded together to form C5-C8 cycloalkenyl ring or a partially saturated C5-C8 heterocyclyl ring, wherein said cycloalkenyl ring or heterocyclyl ring is optionally substituted with one or more of the group consisting of R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>12</sup>, and R<sup>13</sup>;

25 W, X, Y, and Z are independently selected from the group consisting of C(R<sup>9</sup>), C(R<sup>10</sup>), C(R<sup>11</sup>), C(R<sup>12</sup>), N, N(R<sup>10</sup>), O, S, and a bond with the proviso that one of W, X, Y, and Z is independently selected to be a bond when one of W, X, Y, and Z is O or S, with the further proviso that no more than one of W, X, Y, and Z is optionally O or S, and with the additional proviso that no more than three of W, X, Y, and Z are optionally N or N(R<sup>10</sup>);

35 R<sup>2</sup> is Z<sup>0</sup>-Q;

Z<sup>0</sup> is selected from the group consisting of:

(i) a bond,  $(CR^{41}R^{42})_q$  wherein  $q$  is an integer selected from 1 through 3, and  $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$  wherein  $g$  and  $p$  are integers independently selected from 0 through 3 and  $W^0$  is selected from the group consisting of O, S, C(O), S(O), N(R<sup>41</sup>), and ON(R<sup>41</sup>); and

(ii)  $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$  wherein  $e$  and  $h$  are independently 0 or 1 and  $W^{22}$  is selected from the group consisting of  $CR^{41}=CR^{42}$ , 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, wherein  $Z^0$  is directly bonded to the pyridine ring and  $W^{22}$  is optionally substituted with one or more substituents selected from the group consisting of  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$ ;

$R^{41}$  and  $R^{42}$  are independently selected from the group consisting of amidino, hydroxyamino, hydrido, hydroxy, amino, and alkyl;

$Q$  is selected from the group consisting of:

(i) phenyl or a heteroaryl of 5 or 6 ring members, wherein a nitrogen with a removable hydrogen or a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , a nitrogen with a removable hydrogen or a carbon at the other position adjacent to the point of attachment is optionally substituted by  $R^{13}$ , a nitrogen with a removable hydrogen or a carbon adjacent to  $R^9$  and two atoms from the point of attachment is optionally substituted by  $R^{10}$ , a nitrogen with a removable hydrogen or a carbon adjacent



to R<sup>13</sup> and two atoms from the point of attachment is optionally substituted by R<sup>12</sup>, and a nitrogen with a removable hydrogen or a carbon adjacent to both R<sup>10</sup> and R<sup>12</sup> is optionally substituted by R<sup>11</sup>; and

5 (ii) hydrido with the proviso that Z<sup>0</sup> is selected from other than a bond;

K is selected from the group consisting of:

(i) CR<sup>4a</sup>R<sup>4b</sup>; and

10 (ii) (CH(R<sup>14</sup>))<sub>j</sub>-T wherein j is 0 or 1 and T is a bond or N(R<sup>7</sup>) with the proviso that (CH(R<sup>14</sup>))<sub>j</sub> is bonded to the phenyl ring;

R<sup>4a</sup> and R<sup>4b</sup> are independently selected from the group consisting of halo, hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

15 E<sup>0</sup> is selected from the group consisting of:

(i) E<sup>1</sup>, with the proviso that K is CR<sup>4a</sup>R<sup>4b</sup>, wherein E<sup>1</sup> is selected from the group consisting of a covalent single bond, C(O)N(H), (H)NC(O), C(S)N(H), (H)NC(S), S(O)<sub>2</sub>N(H), N(H)S(O)<sub>2</sub>, S(O)<sub>2</sub>N(H)C(O), and C(O)N(H)S(O)<sub>2</sub>; and

20 (ii) E<sup>2</sup>, with the proviso that K is (CH(R<sup>14</sup>))<sub>j</sub>-T, wherein E<sup>2</sup> is selected from the group consisting of C(O)N(H), (H)NC(O), C(S)N(H), (H)NC(S), S(O)<sub>2</sub>N(H), N(H)S(O)<sub>2</sub>, S(O)<sub>2</sub>N(H)C(O), and C(O)N(H)S(O)<sub>2</sub>;

25 R<sup>14</sup> is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

Y<sup>0</sup> is selected from the group consisting of:

(i) phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by Q<sup>s</sup>, a carbon two or three contiguous atoms from the point of attachment of Q<sup>s</sup> to said phenyl or said heteroaryl to said phenyl or said heteroaryl is substituted by Q<sup>b</sup>, a carbon adjacent to the point of attachment of Q<sup>s</sup> is optionally substituted by R<sup>17</sup>, another carbon adjacent to the point of attachment of Q<sup>s</sup> is optionally substituted by R<sup>18</sup>, a carbon adjacent to Q<sup>b</sup> is optionally substituted by R<sup>16</sup>, and another carbon adjacent

30

35

to  $Q^b$  is optionally substituted by  $R^{19}$ ;

(ii)  $Y^{AT}$  wherein  $Y^{AT}$  is  $Q^b-Q^s$ ; and

(iii)  $Q^b-Q^{ss}$  wherein  $Q^{ss}$  is  $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ ,

wherein  $e$  and  $h$  are independently 1 or 2 and  $W^2$  is

5  $CR^{4a}=CR^{4b}$ , with the proviso that  $(CH(R^{14}))_e$  is bonded to  $E^0$ ;

$R^{17}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, nitro, alkoxyamino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboalkoxy, and cyano;

$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of:

15 (i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, nitro, alkoxyamino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboalkoxy, and cyano;

20 (ii)  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

25  $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , aminoalkyl, hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time, with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time;

30  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, hydroxy, aminoalkyl, amino, dialkylamino, alkylamino, and hydroxyalkyl;

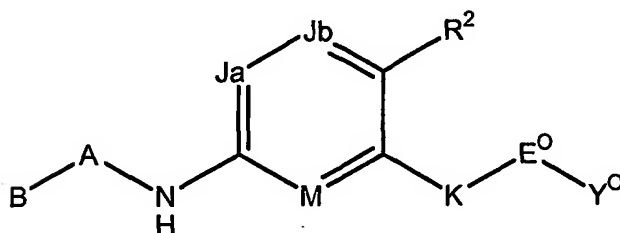
35  $Q^s$  is selected from the group consisting of a bond,

(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>, wherein b is an integer selected from 1 through 4, and (CH(R<sup>14</sup>))<sub>c</sub>-W<sup>1</sup>-(CH(R<sup>15</sup>))<sub>d</sub>, wherein c and d are integers independently selected from 1 through 3 and W<sup>1</sup> is selected from the group consisting of C(O)N(R<sup>14</sup>), (R<sup>14</sup>)NC(O), S(O), S(O)<sub>2</sub>, S(O)<sub>2</sub>N(R<sup>14</sup>), N(R<sup>14</sup>)S(O)<sub>2</sub>, and N(R<sup>14</sup>), with the proviso that R<sup>14</sup> is selected from other than halo when directly bonded to N, and with the additional proviso that (CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub> and (CH(R<sup>14</sup>))<sub>c</sub> are bonded to E<sup>0</sup>;

R<sup>37</sup> is independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

R<sup>38</sup> is selected from the group consisting of hydrido, alkyl, haloalkyl, aroyl or heteroaroyl, wherein R<sup>38</sup> is optionally substituted with one or more substituents selected from the group consisting of R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup>.

67. The compound of claim 66 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

M is N or N→O;

B is selected from the group consisting of:

(i) phenyl or a heteroaryl of 5 or 6 ring members,

wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by R<sup>32</sup>, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>36</sup>, a carbon adjacent to R<sup>32</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>33</sup>, a carbon adjacent to R<sup>36</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>35</sup>, and any carbon adjacent to both R<sup>33</sup> and R<sup>35</sup> is optionally substituted by R<sup>34</sup>;

(ii) hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ; and

(iii) C3-C12 cycloalkyl or a C4-C9 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen atom adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen atom adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen atom four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;

$R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkylamino, N-alkyl-N-arylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino,

heterocyclylalkylamino, alkylthio, alkylsulfinyl,  
arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl,  
heteroarylsulfinyl, alkylsulfamido, alkylsulfonyl,  
arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl,  
5 heteroarylsulfonyl, amidosulfonyl, alkyl, aryl, aralkyl,  
cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl,  
halo, haloalkyl, haloalkoxy, hydroxyalkyl,  
hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy,  
carboxyalkyl, carboxamido, and cyano;

10 A is bond or  $(\text{CH}(\text{R}^{15}))_{\text{pa}}-(\text{W}^7)_{\text{rr}}$  wherein rr is 0 or 1,  
pa is an integer selected from 0 through 3, and  $\text{W}^7$  is  
selected from the group consisting of O, S, C(O),  
( $\text{R}^7$ )NC(O), ( $\text{R}^7$ )NC(S), and N( $\text{R}^7$ ), with the proviso that  $\text{W}^7$   
is bonded to the N(H) on the pyridine ring;

15  $\text{R}^7$  is selected from the group consisting of hydrido,  
hydroxy and alkyl;

$\text{R}^{15}$  is selected from the group consisting of hydrido,  
hydroxy, halo, alkyl, and haloalkyl;

Ja is N or C- $\text{X}^0$ ;

20 Jb is N or C- $\text{R}^1$ ;

$\text{X}^0$  is independently selected from the group  
consisting of hydrido, alkyl, cyano, halo, haloalkyl,  
haloalkoxy, amino, aminoalkyl, alkylamino, amidino,  
hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino,  
25 thiol, and alkylthio;

$\text{R}^1$  is selected from the group consisting of:

(i) hydrido, alkyl, cyano, halo, haloalkyl,  
haloalkoxy, amino, aminoalkyl, alkylamino, amidino,  
hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino,  
30 thiol, and alkylthio;

(ii) taken with  $\text{X}^0$  or  $\text{R}^2$  to form  $-\text{W}=\text{X}-\text{Y}=\text{Z}-$ ; wherein  
 $-\text{W}=\text{X}-\text{Y}=\text{Z}-$  forms an aryl or heteroaryl of 5 or 6 ring-  
members; and

(iii) taken with  $\text{X}^0$  or  $\text{R}^2$  bonded together to form C5-  
35 C8 cycloalkenyl ring or a partially saturated C5-C8  
heterocyclyl ring, wherein said cycloalkenyl ring or

heterocyclyl ring is optionally substituted with one or more of the group consisting of  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$ ;

W, X, Y, and Z are independently selected from the group consisting of  $C(R^9)$ ,  $C(R^{10})$ ,  $C(R^{11})$ ,  $C(R^{12})$ , N,  $N(R^{10})$ , O, S and a bond with the proviso that one of W, X, Y, and Z is independently selected to be a bond when one of W, X, Y, and Z is O or S, with the further proviso that no more than one of W, X, Y, and Z is optionally selected from the group consisting of O and S, and with the additional proviso that no more than three of W, X, Y, and Z are optionally N or  $N(R^{10})$ ;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of:

(i) a bond,  $(CR^{41}R^{42})_q$  wherein q is 1 or 2, and  $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$  wherein g and p are integers independently selected from 0 through 3 and  $W^0$  is selected from the group consisting of O, S,  $C(O)$ ,  $S(O)$ ,  $N(R^{41})$ , and  $ON(R^{41})$ ; and

(ii)  $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$  wherein e and h are independently 0 or 1 and  $W^{22}$  is selected from the group consisting of  $CR^{41}=CR^{42}$ , 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, wherein  $Z^0$  is directly bonded to the pyridine ring and  $W^{22}$  is optionally substituted with one or more substituents selected from the group consisting of  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$ ;

$R^{41}$  and  $R^{42}$  are independently selected from the group

consisting of hydrido, hydroxy, alkyl, and amino;

Q is selected from the group consisting of:

(i) phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ; and

(ii) hydrido with the proviso that  $Z^0$  is other than a bond;

K is selected from the group consisting of:

(i)  $CR^{4a}R^{4b}$ ;

(ii)  $(CH(R^{14}))_j-T$  wherein  $j$  is 0 or 1 and  $T$  is a bond or  $N(R^7)$  with the proviso that  $(CH(R^{14}))_j$  is bonded to the phenyl ring;

$R^{4a}$  and  $R^{4b}$  are independently selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

$R^{14}$  is hydrido or halo;

$E^0$  is selected from the group consisting of:

(i)  $E^1$ , with the proviso that  $K$  is  $CR^{4a}R^{4b}$ , is  $E^1$  wherein  $E^1$  is selected from the group consisting of a covalent single bond,  $C(O)N(H)$ ,  $(H)NC(O)$ ,  $S(O)_2N(H)$ , and  $N(H)S(O)_2$ ; and

(ii)  $E^2$ , with the proviso that  $K$  is  $(CH(R^{14}))_j-T$ , is  $E^2$  wherein  $E^2$  is selected from the group consisting of  $C(O)N(H)$ ,  $(H)NC(O)$ ,  $C(S)N(H)$ ,  $(H)NC(S)$ ,  $S(O)_2N(H)$ ,  $N(H)S(O)_2$ ,  $S(O)_2N(H)C(O)$ , and  $C(O)N(H)S(O)_2$ ;

$Y^0$  is selected from the group consisting of:

(i) phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is

substituted by  $Q^a$ , a carbon two or three atoms from the point of attachment of  $Q^a$  to said phenyl or said heteroaryl is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{17}$ ,  
 5 another carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

(ii)  $Y^{AT}$  wherein  $Y^{AT}$  is  $Q^b-Q^a$ ; and

10 (iii)  $Q^b-Q^{ss}$  wherein  $Q^{ss}$  is  $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ , wherein  $e$  and  $h$  are independently 1 or 2 and  $W^2$  is  $CR^{4a}=CR^{4b}$  with the proviso that  $(CH(R^{14}))_e$  is bonded to  $E^0$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy,  
 15 haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are selected from the group consisting of:

20 (i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and

25 (ii)  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the  
 30 proviso that no more than one of  $R^{20}$  and  $R^{21}$  is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time, with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is selected from the group consisting of hydroxy, amino, alkylamino,  
 35 and dialkylamino at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently



selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

$Q^8$  is selected from the group consisting of a bond,  $(CR^{37}R^{38})_b$ , wherein  $b$  is an integer selected from 1 through 4, and  $(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$ , wherein  $c$  and  $d$  are integers independently selected from 1 through 3 and  $W^1$  is selected from the group consisting of  $C(O)N(R^{14})$ ,  $(R^{14})NC(O)$ ,  $S(O)$ ,  $S(O)_2$ ,  $S(O)_2N(R^{14})$ ,  $N(R^{14})S(O)_2$ , and  $N(R^{14})$ , with the proviso that  $R^{14}$  is selected from other than halo when directly bonded to N, and with the additional proviso that  $(CR^{37}R^{38})_b$ ,  $(CR^{37}R^{38})_b$ , and  $(CH(R^{14}))_c$  are bonded to  $E^0$ ;

$R^{37}$  is independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

$R^{38}$  is selected from the group consisting of hydrido, alkyl, haloalkyl, aroyl or heteroaroyl, wherein  $R^{38}$  is optionally substituted with one or more substituents selected from the group consisting of  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$ .

68. The compound of claim 67 or a pharmaceutically acceptable salt thereof, wherein;

$M$  is N or  $N \rightarrow O$ ;

$B$  is selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group  $B$  is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of  $B$  to  $A$  with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is  $(\text{CH}(\text{R}^{15}))_{\text{pa}}-\text{W}^7$  wherein pa is an integer selected from 0 through 3 and  $\text{W}^7$  is selected from the group consisting of O, S, and  $\text{N}(\text{R}^7)$  wherein  $\text{R}^7$  is hydrido or alkyl;

5  $\text{R}^{15}$  is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

Ja is N or  $\text{C}-\text{X}^0$ ;

Jb is N or  $\text{C}-\text{R}^1$ ;

10  $\text{R}^1$  and  $\text{X}^0$  are independently selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$\text{R}^2$  is  $\text{Z}^0-\text{Q}$ ;

15  $\text{Z}^0$  is a bond or  $(\text{CR}^{41}\text{R}^{42})_q$  wherein q is 1 or 2;

$\text{R}^{41}$  and  $\text{R}^{42}$  are independently selected from the group consisting of hydrido, hydroxy, and amino;

20 Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $\text{Z}^0$  is optionally substituted by  $\text{R}^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $\text{R}^{13}$ , a carbon adjacent to  $\text{R}^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $\text{R}^{10}$ , a carbon adjacent to  $\text{R}^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $\text{R}^{12}$ , and any carbon adjacent to both  $\text{R}^{10}$  and  $\text{R}^{12}$  is optionally substituted by  $\text{R}^{11}$ , with the proviso that Q is other than a phenyl when  $\text{Z}^0$  is a bond;

30  $\text{R}^9$ ,  $\text{R}^{10}$ ,  $\text{R}^{11}$ ,  $\text{R}^{12}$ , and  $\text{R}^{13}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkylamino, N-alkyl-

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N-arylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylthio, alkylsulfinyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, alkylsulfamido, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, amidosulfonyl, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

K is  $\text{CHR}^{4a}$  wherein  $\text{R}^{4a}$  is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

$\text{E}^0$  is selected from the group consisting of a bond,  $\text{C}(\text{O})\text{N}(\text{H})$ ,  $(\text{H})\text{NC}(\text{O})$ ,  $(\text{R}^7)\text{NS}(\text{O})_2$ , and  $\text{S}(\text{O})_2\text{N}(\text{R}^7)$ ;

$\text{Y}^0$  is selected from the group consisting of:

(i) phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $\text{Q}^a$ , a carbon two or three contiguous atoms from the point of attachment of  $\text{Q}^a$  to the phenyl or heteroaryl ring is substituted by  $\text{Q}^b$ , a carbon adjacent to the point of attachment of  $\text{Q}^a$  is optionally substituted by  $\text{R}^{17}$ , another carbon adjacent to the point of attachment of  $\text{Q}^a$  is optionally substituted by  $\text{R}^{18}$ , a carbon adjacent to  $\text{Q}^b$  is optionally substituted by  $\text{R}^{16}$ , and another carbon adjacent to  $\text{Q}^b$  is optionally substituted by  $\text{R}^{19}$ ; and

(ii)  $\text{Q}^b\text{-Q}^{ss}$  wherein  $\text{Q}^{ss}$  is  $(\text{CH}(\text{R}^{14}))_e\text{-W}^2\text{-(CH}(\text{R}^{15}))_h$ , wherein e and h are integers independently selected from 1 through 2 and  $\text{W}^2$  is  $\text{CR}^{4a}=\text{CH}$  with the proviso that  $(\text{CH}(\text{R}^{14}))_e$  is bonded to  $\text{E}^0$ ;

$\text{R}^{17}$  and  $\text{R}^{18}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl,

haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and

(ii)  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

$Q^s$  is selected from the group consisting of a bond,  $(CR^{37}R^{38})_b$  wherein  $b$  is an integer selected from 1 through 3, and

$(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$  wherein  $c$  and  $d$  are independently 1 or 2 and  $W^1$  is selected from the group consisting of  $C(O)N(R^{14})$ ,  $(R^{14})NC(O)$ ,  $S(O)$ ,  $S(O)_2$ ,  $S(O)_2N(R^{14})$ ,  $N(R^{14})S(O)_2$ , and  $N(R^{14})$ , with the proviso that  $R^{14}$  is selected from other than halo when directly bonded to N and with the further proviso that  $(CR^{37}R^{38})_b$ , and  $(CH(R^{14}))_c$  are bonded to  $E^0$ ;

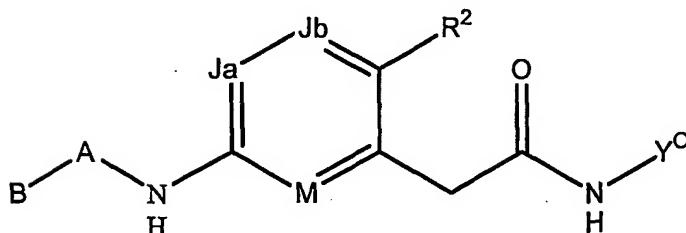
$R^{14}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$R^{37}$  is independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

$R^{38}$  is selected from the group consisting of hydrido,

alkyl, haloalkyl, aroyl and heteroaroyl.

69. The compound of claim 68 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

5 M is N or N→O;

B is selected from the group consisting of hydrido, trialkylsilyl, C2-C4 alkyl, C3-C5 alkylenyl, C3-C4 alkenyl, C3-C4 alkynyl, and C2-C4 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to A with one or more of the group consisting of R<sup>32</sup>, R<sup>33</sup>, and R<sup>34</sup>;

15 R<sup>32</sup>, R<sup>33</sup>, and R<sup>34</sup> are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

20 A is (CH(R<sup>15</sup>))<sub>pa</sub>-N(R<sup>7</sup>) wherein pa is an integer selected from 0 through 2 and R<sup>7</sup> is hydrido or alkyl;

R<sup>15</sup> is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

Ja is N or C-X<sup>0</sup>;

Jb is N or C-R<sup>1</sup>;

25 R<sup>1</sup> and X<sup>0</sup> are independently selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is a bond or  $CH_2$ ;

Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocycliloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is

substituted by  $Q^a$ , a carbon two or three atoms from the point of attachment of  $Q^a$  to said phenyl or said heteroaryl is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{17}$ ,  
5 another carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group  
10 consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy,  
20 hydroxyalkyl, aminoalkyl, and cyano;

(ii)  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the  
25 proviso that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently  
30 selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^a$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

70. The compound of claim 69 or a pharmaceutically  
35 acceptable salt thereof, wherein;

M is N or N→O;

B is selected from the group consisting of ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-, butyl, 2-butenyl, 3-butenyl, 2-butynyl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 2,2,2-trifluoroethyl, 3,3,3-trifluoropropyl, and 2,2-difluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to A with one or more of the group consisting of R<sup>32</sup>, R<sup>33</sup>, and R<sup>34</sup>;

R<sup>32</sup>, R<sup>33</sup>, and R<sup>34</sup> are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl,

2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

A is selected from the group consisting of a bond, NH, and N(CH<sub>3</sub>);

Ja is N or C-X<sup>0</sup>;

Jb is N or C-R<sup>1</sup>;

R<sup>1</sup> and X<sup>0</sup> are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;



$R^2$  is  $Z^0-Q$ ;

$Z^0$  is a bond or  $CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy,

ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidodisulfonyl, N-(2-chlorobenzyl)amidodisulfonyl, N-isopropylamidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy, cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy, cyclopentoxo, benzyl, benzyloxy, 4-bromo-3-fluorophenoxy, 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino, 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl, 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino, 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy, 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl, 5-chloropyrid-3-ylloxy, 2-cyanopyrid-3-ylloxy, 2,3-difluorobenzyloxy, 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy, 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy, 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy, 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy, 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy, 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-

fluorobenzyloxy, 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy, 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy, 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy, 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino, 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino, phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy, 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy, 3-trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy, 2,4-bis-trifluoromethylbenzyloxy, 3-trifluoromethylbenzyl, 3,5-bis-trifluoromethylbenzyloxy, 4-trifluoromethylphenoxy, 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzyloxy, 4-trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy, 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;—

$Y^0$  is selected from the group consisting of:

1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene,  
 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -3- $R^{19}$ pyridine,  
 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -6- $R^{18}$ pyrazine,  
 3- $Q^b$ -6- $Q^s$ -2- $R^{18}$ -5- $R^{18}$ -4- $R^{19}$ pyridazine,  
 2- $Q^b$ -5- $Q^s$ -4- $R^{17}$ -6- $R^{18}$ pyrimidine, 5- $Q^b$ -2- $Q^s$ -4- $R^{16}$ -6- $R^{19}$ pyrimidine,  
 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene,  
 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ furan, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ furan,  
 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ pyrrole, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ pyrrole,  
 4- $Q^b$ -2- $Q^s$ -5- $R^{19}$ imidazole, 2- $Q^b$ -4- $Q^s$ -5- $R^{17}$ imidazole,

3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>isoxazole, 5-Q<sup>b</sup>-3-Q<sup>s</sup>-4-R<sup>16</sup>isoxazole,  
2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup>thiazole, and  
2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup>thiazole;

R<sup>17</sup> and R<sup>18</sup> are independently selected from the group  
consisting of hydrido, methyl, ethyl, isopropyl, propyl,  
carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy,  
propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-  
aminoethyl, N-methylamino, dimethylamino, N-ethylamino,  
methylthio, ethylthio, isopropylthio,  
trifluoromethylthio, methylsulfinyl, ethylsulfinyl,  
methylsulfonyl, ethylsulfonyl, trifluoromethyl,  
pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-  
pentafluoropropyl, trifluoromethoxy, 1,1,2,2-  
tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl,  
1-hydroxyethyl, 2-hydroxyethyl, and cyano;

R<sup>16</sup> and R<sup>19</sup> are selected from the group consisting of:

(i) hydrido, methyl, ethyl, isopropyl, propyl,  
carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy,  
propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-  
aminoethyl, N-methylamino, dimethylamino, N-ethylamino,  
methylthio, ethylthio, isopropylthio,  
trifluoromethylthio, methylsulfinyl, ethylsulfinyl,  
methylsulfonyl, ethylsulfonyl, trifluoromethyl,  
pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-  
pentafluoropropyl, trifluoromethoxy, 1,1,2,2-  
tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl,  
1-hydroxyethyl, 2-hydroxyethyl, and cyano; and

(ii) NR<sup>20</sup>R<sup>21</sup>, N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), and C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>,  
with the proviso that R<sup>16</sup>, R<sup>19</sup>, and Q<sup>b</sup> are not  
simultaneously hydrido;

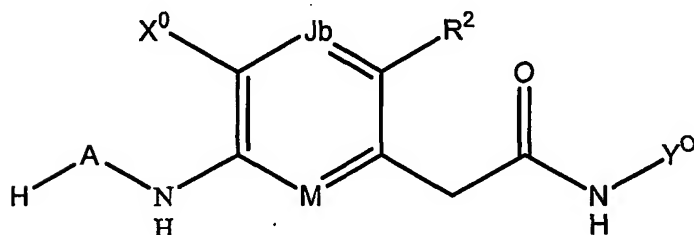
Q<sup>b</sup> is selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>,  
hydrido, C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, and N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), with the  
proviso that no more than one of R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, and R<sup>24</sup> can  
be hydroxy, when any two of the group consisting of R<sup>20</sup>,  
R<sup>21</sup>, R<sup>23</sup>, and R<sup>24</sup> are bonded to the same atom and with  
the further proviso that said Q<sup>b</sup> group is bonded directly

to a carbon atom;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

5  $Q^8$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

71. The compound of claim 70 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

$M$  is  $N$  or  $N \rightarrow O$ ;

10  $A$  is selected from the group consisting of  $CH_2N(CH_3)$ ,  $CH_2N(CH_2CH_3)$ ,  $CH_2CH_2N(CH_3)$ , and  $CH_2CH_2N(CH_2CH_3)$ ;

$Jb$  is  $N$  or  $C-R^1$ ;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is a bond or  $CH_2$ ;

$Q$  is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon

adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-

benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl,  
N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl, N-isopropylamidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy, cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy, cyclopentoxo, benzyl, benzyloxy, 4-bromo-3-fluorophenoxy, 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino, 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl, 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino, 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy, 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl, 5-chloropyrid-3-yloxy, 2-cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy, 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy, 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy, 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy, 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy, 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy, 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy, 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy, 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy, 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy, 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy,

4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy,  
 phenylamino, 1-phenylethoxy, 2-phenylethoxy, 2-  
 phenylethyl, 2-phenylethylamino, phenylsulfonyl, 3-  
 trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy,  
 5 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy, 3-  
 trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,  
 2,4-bis-trifluoromethylbenzyloxy, 3-  
 trifluoromethylbenzyl, 3,5-bis-trifluoromethylbenzyloxy,  
 4-trifluoromethylphenoxy, 3-trifluoromethylphenoxy, 3-  
 10 trifluoromethylthiobenzyloxy, 4-  
 trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy,  
 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-  
 (1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-  
 trifluoromethylthiophenoxy;

15  $Y^0$  is selected from the group consisting of:  
 1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -  
 3- $R^{19}$ pyridine, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 2- $Q^b$ -5- $Q^s$ -  
 3- $R^{16}$ -6- $R^{18}$ pyrazine, 3- $Q^b$ -6- $Q^s$ -2- $R^{18}$ -5- $R^{18}$ -4- $R^{19}$ pyridazine, 2-  
 $Q^b$ -5- $Q^s$ -4- $R^{17}$ -6- $R^{18}$ pyrimidine, 5- $Q^b$ -2- $Q^s$ -4- $R^{16}$ -6-  
 20  $R^{19}$ pyrimidine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, 2- $Q^b$ -5- $Q^s$ -3-  
 $R^{16}$ -4- $R^{17}$ thiophene, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ furan, 2- $Q^b$ -5- $Q^s$ -3-  
 $R^{16}$ -4- $R^{17}$ furan, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ pyrrole, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4-  
 $R^{17}$ pyrrole, 4- $Q^b$ -2- $Q^s$ -5- $R^{19}$ imidazole, 2- $Q^b$ -4- $Q^s$ -5-  
 $R^{17}$ imidazole, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ isoxazole, 5- $Q^b$ -3- $Q^s$ -4-  
 25  $R^{16}$ isoxazole, 2- $Q^b$ -5- $Q^s$ -4- $R^{16}$ pyrazole, 4- $Q^b$ -2- $Q^s$ -5-  
 $R^{19}$ thiazole, and 2- $Q^b$ -5- $Q^s$ -4- $R^{17}$ thiazole;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from  
 the group consisting of hydrido, methyl, ethyl,  
 isopropyl, propyl, amidino, guanidino, methoxy, ethoxy,  
 30 isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-  
 aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,  
 N-ethylamino, methylthio, ethylthio, isopropylthio,  
 trifluoromethylthio, methylsulfinyl, ethylsulfinyl,  
 methylsulfonyl, ethylsulfonyl, trifluoromethyl,  
 35 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-  
 pentafluoropropyl, trifluoromethoxy, 1,1,2,2-



tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the proviso that no more than one of  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ , and  $R^{24}$  can be hydroxy, when any two of the group consisting of  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ , and  $R^{24}$  are bonded to the same atom, and with the further proviso that said  $Q^b$  group is bonded directly to a carbon atom;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

72. The compound of claim 71 or a pharmaceutically acceptable salt thereof, wherein;

M is  $N \rightarrow O$ ;

A is selected from the group consisting of  $CH_2N(CH_3)$ ,  $CH_2N(CH_2CH_3)$ ,  $CH_2CH_2N(CH_3)$ , and  $CH_2CH_2N(CH_2CH_3)$ ;

Jb is  $C-R^1$ ;

$R^1$  and  $X^o$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$  is  $Z^o-Q$ ;

$Z^o$  is a bond or  $CH_2$ ;

Q is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl, 3-amino-5-benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl, 3-amino-5-benzylloxyphenyl, 3-amino-5-(2-phenylethoxy)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-

fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of: 1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -3- $R^{19}$ pyridine, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, and 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene;

$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro,

chloro, and cyano;

R<sup>17</sup> and R<sup>18</sup> are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

5 Q<sup>b</sup> is C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>;

R<sup>23</sup>, R<sup>24</sup>, and R<sup>25</sup> are independently selected from the group consisting of hydrido and methyl;

Q<sup>s</sup> is CH<sub>2</sub>.

73. The compound of claim 72 or a pharmaceutically acceptable salt thereof wherein the compound is selected from the group consisting of:

2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-aminophenyl]-6-[N,N-dimethylhydrazino]-1-oxypyridinyl]]acetamide;

15 2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-aminophenyl]-6-[N-ethyl-N-methylhydrazino]-1-oxypyridinyl]]acetamide;

20 2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-aminophenyl]-5-chloro-6-[N,N-dimethylhydrazino]-1-oxypyridinyl]]acetamide;

2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-aminophenyl]-5-chloro-6-[N-ethyl-N-methylhydrazino]-1-oxypyridinyl]]acetamide;

25 2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3,5-diaminophenyl]-6-[N,N-dimethylhydrazino]-1-oxypyridinyl]]acetamide;

2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3,5-diaminophenyl]-6-[N-ethyl-N-methylhydrazino]-1-oxypyridinyl]]acetamide;

30 2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3,5-diaminophenyl]-5-chloro-6-[N,N-dimethylhydrazino]-1-oxypyridinyl]]acetamide;

35 2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3,5-diaminophenyl]-5-chloro-6-[N-ethyl-N-methylhydrazino]-1-oxypyridinyl]]acetamide;

2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-amino-5-carboxyphenyl]-6-[N,N-dimethylhydrazino]-1-oxypyridinyl]]acetamide;

5 2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-amino-5-carboxyphenyl]-6-[N-ethyl-N-methylhydrazino]-1-oxypyridinyl]]acetamide;

2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-amino-5-carboxyphenyl]-5-chloro-6-[N,N-dimethylhydrazino]-1-oxypyridinyl]]acetamide;

10 2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-amino-5-carboxyphenyl]-5-chloro-6-[N-ethyl-N-methylhydrazino]-1-oxypyridinyl]]acetamide;

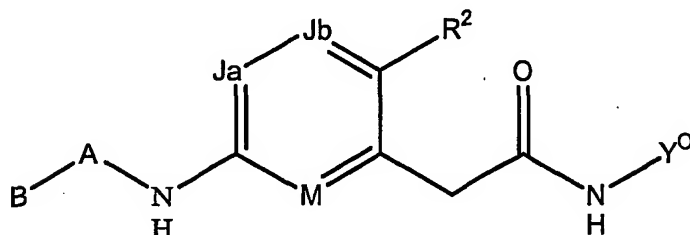
15 2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-amino-5-(N-benzylamidocarbonyl)phenyl]-6-[N,N-dimethylhydrazino]-1-oxypyridinyl]]acetamide;

2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-amino-5-(N-benzylamidocarbonyl)phenyl]-6-[N-ethyl-N-methylhydrazino]-1-oxypyridinyl]]acetamide;

20 2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-amino-5-(N-benzylamidocarbonyl)phenyl]-5-chloro-6-[N,N-dimethylhydrazino]-1-oxypyridinyl]]acetamide;

2-[2-[N-[[4-aminoiminomethylphenyl]methyl]-3-[3-amino-5-(N-benzylamidocarbonyl)phenyl]-5-chloro-6-[N-ethyl-N-methylhydrazino]-1-oxypyridinyl]]acetamide.

25 74. The compound of claim 67 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;  
M is N or N→O;

B is phenyl or a heteroaryl of 5 or 6 ring members,  
wherein a carbon adjacent to the carbon at the point of

attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $(R^7)NC(O)$  or  $N(R^7)$ ;

$R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

Ja is N or  $C-X^0$ ;

Jb is N or  $C-R^1$ ;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond,  $CH_2$ ,  $CH_2CH_2$ ,  $W^0-(CH(R^{42}))_p$  wherein  $p$  is 0 or 1 and  $W^0$  is selected from the group consisting of O, S, and  $N(R^{41})$ ;

$R^{41}$  and  $R^{42}$  are independently hydrido or alkyl;

Q is phenyl or a heteroaryl of 5 or 6 ring members,

wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^a$ , a carbon two or three atoms from the point of attachment of  $Q^a$  to said phenyl or said heteroaryl is substituted by  $Q^b$ , a carbon adjacent to the

point of attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and

(ii)  $NR^{20}R^{21}$  or  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido, and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

75. The compound of claim 74 or a pharmaceutically acceptable salt thereof, wherein;

M is N or  $N \rightarrow O$ ;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-

pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and  $Q^b$ ;

A is selected from the group consisting of a bond, NH,  $N(CH_3)$ ,  $N(OH)$ ,  $CH_2$ ,  $CH_3CH$ ,  $CF_3CH$ ,  $NHC(O)$ ,  $N(CH_3)C(O)$ ,  $C(O)NH$ ,  $C(O)N(CH_3)$ ,  $CH_2CH_2$ ,  $CH_2CH_2CH_2$ ,  $CH_3CHCH_2$ , and  $CF_3CHCH_2$ ;

Ja is N or  $C-X^0$ ;

Jb is N or  $C-R^1$ ;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino,



dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond,  $CH_2$ ,  $CH_2CH_2$ , O, S, NH,  $N(CH_3)$ ,  $OCH_2$ ,  $SCH_2$ ,  $N(H)CH_2$ , and  $N(CH_3)CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl,

hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

- 5           R<sup>10</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido,
- 10       trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl,
- 15       methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy, cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy, cyclopentoxo, benzyl, benzyloxy, 4-bromo-3-fluorophenoxy, 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino, 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl, 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino, 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy, 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl, 5-chloropyrid-3-yloxy, 2-
- 20
- 25
- 30
- 35

cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy, 2,4-  
 difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-  
 difluorobenzyloxy, 3,5-difluorophenoxy, 3,5-  
 difluorobenzyloxy, 4-difluoromethoxybenzyloxy, 2,3-  
 5 difluorophenoxy, 2,4-difluorophenoxy, 2,5-  
 difluorophenoxy, ,5-dimethylphenoxy, 3,4-dimethylphenoxy,  
 3,4-dimethylbenzyloxy, 3,5-dimethylbenzyloxy, 4-  
 ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy, 4-  
 ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-  
 10 fluorobenzyloxy, 2-fluoro-3-trifluoromethylbenzyloxy, 3-  
 fluoro-5-trifluoromethylbenzyloxy, 4-fluoro-2-  
 trifluoromethylbenzyloxy, 4-fluoro-3-  
 trifluoromethylbenzyloxy, 2-fluorophenoxy, 4-  
 fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy, 2-  
 15 fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-  
 trifluoromethylphenoxy, 4-isopropylbenzyloxy, 3-  
 isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-  
 methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy,  
 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy,  
 20 phenylamino, 1-phenylethoxy, 2-phenylethoxy, 2-  
 phenylethyl, 2-phenylethylamino, phenylsulfonyl, 3-  
 trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy,  
 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy, 3-  
 trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,  
 25 2,4-bis-trifluoromethylbenzyloxy, 3-  
 trifluoromethylbenzyl, 3,5-bis-trifluoromethylbenzyloxy,  
 4-trifluoromethylphenoxy, 3-trifluoromethylphenoxy, 3-  
 trifluoromethylthiobenzyloxy, 4-  
 trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy,  
 30 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-  
 (1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-  
 trifluoromethylthiophenoxy;

Y<sup>0</sup> is selected from the group consisting of:

1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup>benzene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-  
 35 3-R<sup>19</sup>pyridine, 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup>pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-  
 3-R<sup>16</sup>-6-R<sup>18</sup>pyrazine, 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>18</sup>-5-R<sup>18</sup>-4-R<sup>19</sup>pyridazine, 2-

Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup>-6-R<sup>18</sup>pyrimidine, 5-Q<sup>b</sup>-2-Q<sup>s</sup>-4-R<sup>16</sup>-6-R<sup>19</sup>pyrimidine, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup>thiophene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup>thiophene, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup>furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup>furan, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup>pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup>pyrrole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup>imidazole, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-5-R<sup>17</sup>imidazole, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>isoxazole, 5-Q<sup>b</sup>-3-Q<sup>s</sup>-4-R<sup>16</sup>isoxazole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup>thiazole, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup>thiazole;

R<sup>17</sup> and R<sup>18</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

R<sup>16</sup> and R<sup>19</sup> are selected from the group consisting of:

(i) hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano; and

(ii) C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> with the proviso that R<sup>16</sup>, R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

Q<sup>b</sup> is C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> or hydrido, with the proviso that no more than one of R<sup>23</sup> and R<sup>24</sup> is hydroxy at the same

time;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

5  $Q^5$  is selected from the group consisting of a bond,  $CH_2$  and  $CH_2CH_2$ .

76. The compound of claim 75 or a pharmaceutically acceptable salt thereof, wherein;

M is  $N \rightarrow O$ ;

10 B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

20 A is selected from the group consisting of  $CH_2$ ,  $CH_3CH$ ,  $CF_3CH$ ,  $NHC(O)$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

Ja is N or  $C-X^0$ ;

Jb is N or  $C-R^1$ ;

25  $R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$  is  $Z^0-Q$ ;

30  $Z^0$  is selected from the group consisting of a bond,  $CH_2$ , O, S, NH,  $N(CH_3)$ ,  $OCH_2$ , and  $SCH_2$ ;

35 Q is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl, 3-amino-5-

benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl,  
 3-amino-5-benzyloxyphenyl, 3-amino-5-(2-  
 phenylethoxy)phenyl, 3-amino-5-(N-(2-  
 chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-  
 5 fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-  
 trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-  
 (1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-  
 methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-  
 benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-  
 10 chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-  
 ethylamidocarbonyl)phenyl, 3-amino-5-(N-  
 isopropylamidocarbonyl)phenyl, 3-amino-5-(N-  
 propylamidocarbonyl)phenyl, 3-amino-5-(N-  
 isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-  
 15 butyl)amidocarbonyl)phenyl, 3-amino-5-(N-  
 cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-  
 cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-  
 cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 3-amino-5-hydroxymethylphenyl, 5-amino-3-  
 20 methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-  
 methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl,  
 3-amino-5-(4-trifluoromethylbenzylamino)phenyl, 3-amino-  
 5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl, 3-  
 carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-  
 25 chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-  
 diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-  
 fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-  
 methanesulfonylaminophenyl, 2-methoxyphenyl, 3-  
 methoxyphenyl, 3-methoxyaminophenyl, 3-  
 30 methoxycarbonylphenyl, 2-methylaminophenyl, 3-  
 methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-  
 methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-  
 trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-  
 2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-  
 35 pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of 1- $Q^b$ -4- $Q^s$ -

2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup>benzene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-3-R<sup>19</sup>pyridine, 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup>pyridine, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup>thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup>thiophene;

R<sup>16</sup> and R<sup>19</sup> are selected from the group consisting of:

(i) hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano; and

(ii) C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> with the proviso that R<sup>16</sup>, R<sup>19</sup>, and Q<sup>b</sup> are not simultaneously hydrido;

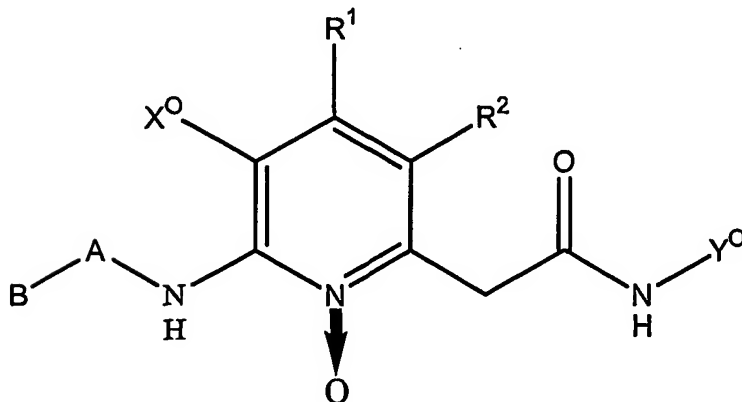
R<sup>17</sup> and R<sup>18</sup> are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q<sup>b</sup> is C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup> or hydrido;

R<sup>23</sup>, R<sup>24</sup>, and R<sup>25</sup> are independently hydrido or methyl;

Q<sup>s</sup> is CH<sub>2</sub>.

77. The compound of claim 74 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

B is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by R<sup>32</sup>, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>36</sup>, a carbon adjacent to R<sup>32</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>33</sup>, a carbon adjacent to R<sup>36</sup> and two atoms

from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $N(R^7)$ ;

$R^7$  is hydrido or alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$R^1$  and  $X^o$  are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is a bond;

Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino,



guanidino, alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

5            $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, hydroxy, amino, alkylamino, alkylsulfonamido, amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido, carboxyalkyl, and cyano;

10            $Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^s$ , a carbon two or three atoms from the point of attachment of  $Q^s$  to said phenyl or said heteroaryl is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^s$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

15            $R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

20            $R^{16}$  and  $R^{19}$  are selected from the group consisting of:

30           (i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and

          (ii)  $NR^{20}R^{21}$  or  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

35            $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido, and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or alkyl;

$Q^s$  is  $CH_2$ .

78. The compound of claim 77 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and  $Q^b$ ;

A is selected from the group consisting of a bond, NH,  $N(CH_3)$ ,  $CH_2$ ,  $CH_3CH$ , and  $CH_2CH_2$ ;

$X^o$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro, and fluoro;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio,

trifluoromethoxy, fluoro, and chloro;

$R^2$  is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to the pyridine ring is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl,

2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, methoxyamino, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, methanesulfonamido, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

$Y^0$  is selected from the group consisting of:

1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -3- $R^{19}$ pyridine, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ furan, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ furan, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ pyrrole, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ pyrrole, 4- $Q^b$ -2- $Q^s$ -5- $R^{19}$ thiazole, and 2- $Q^b$ -5- $Q^s$ -4- $R^{17}$ thiazole;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

$Q^b$  is  $NR^{20}R^{21}$  or  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, methyl, and ethyl;  $Q^s$  is  $CH_2$ .

79. The compound of claim 78 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-

methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

5           A is  $\text{CH}_2$  or  $\text{CH}_2\text{CH}_2$ ;

$\text{X}^\circ$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

10            $\text{R}^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

$\text{R}^2$  is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-

diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of:

1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -3- $R^{19}$ pyridine, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, and 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene;

$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

$Q^s$  is  $CH_2$ .

80. The compound of claim 79 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, phenyl, 2-imidazolyl, 3-pyridyl, 4-pyridyl, and 3-trifluoromethyl-2-pyridyl;

A is  $CH_2$  or  $CH_2CH_2$ ;

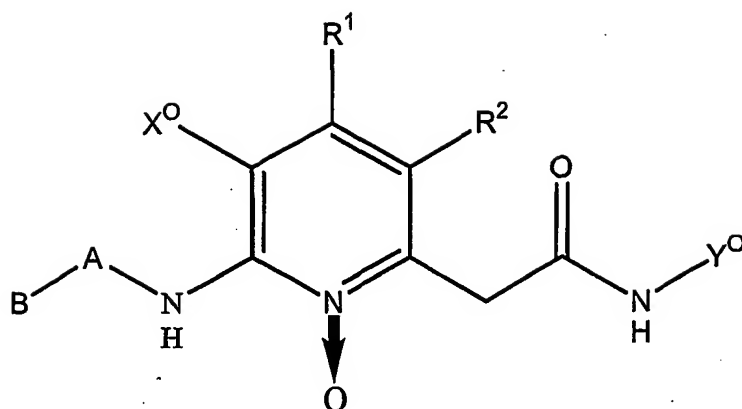
$X^0$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

R<sup>1</sup> is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

R<sup>2</sup> is selected from the group consisting of 3-  
5 amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-  
10 (1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-  
15 isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl, 3-carboxy-  
20 5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

Y<sup>0</sup> is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.  
30

81. The compound of claim 74 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

$R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is hydrido;

$R^2$  is 3-aminophenyl, B is 2-imidazolyl, A is  $CH_2CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-amidocarbonyl-5-aminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;



$R^2$  is 3,5-diaminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-amino-5-carboxyphenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

5  $R^2$  is 3-amidocarbonyl-5-aminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is hydrido;

10  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is hydrido;

$R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is hydrido;

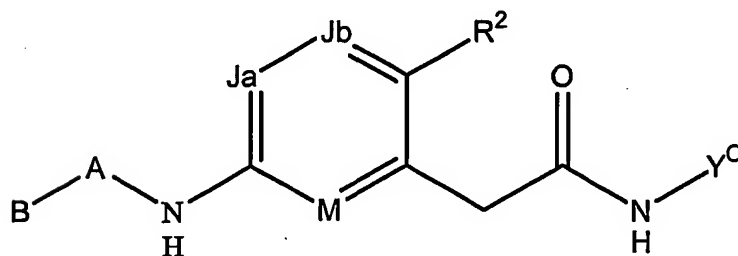
15  $R^2$  is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is hydrido;

20  $R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is hydrido;

$R^2$  is 3,5-diaminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is hydrido;

$R^2$  is 3-amino-5-carboxyphenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is hydrido.

25 82. The compound of claim 67 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

M is N or N→O;

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $(R^7)NC(O)$  or  $N(R^7)$ ;

$R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$Ja$  is N or  $C-X^0$ ;

$Jb$  is N or  $C-R^1$ ;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond,  $CH_2$ ,  $CH_2CH_2$ ,  $W^0-(CH(R^{42}))_p$  wherein  $p$  is 0 or 1 and  $W^0$  is selected from the group consisting of O, S, and  $N(R^{41})$ ;

$R^{41}$  and  $R^{42}$  are independently hydrido or alkyl;

$Q$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to

the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^a$ , a carbon two or three atoms from the point of attachment of  $Q^a$  to said phenyl or said heteroaryl is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$

is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and

(ii)  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

83. The compound of claim 82 or a pharmaceutically acceptable salt thereof, wherein;

M is N or  $N \rightarrow O$ ;

B is selected from the group consisting of hydrido, ethyl, 2-propynyl,

2-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butyryl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-

pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 1-methyl-2-  
 butenyl, 1-methyl-3-butenyl, 1-methyl-2-butyryl, 3-  
 pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-  
 butenyl, 2-methyl-3-butenyl, 2-methyl-3-butyryl, 3-  
 5 methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-  
 hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-  
 hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-  
 pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-  
 methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-  
 10 2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-  
 ethyl-2-butyryl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-  
 heptenyl, 5-heptenyl, 6-heptenyl, 2-heptyryl, 3-heptyryl,  
 4-heptyryl, 5-heptyryl, 2-heptyl, 1-methyl-2-hexenyl, 1-  
 methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl,  
 15 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-  
 hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-  
 pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-  
 ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-  
 trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-  
 20 5,5,5-trifluoropentyl, 4-trifluoromethylpentyl,  
 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl,  
 wherein each member of group B is optionally substituted  
 at any carbon up to and including 5 atoms from the point  
 of attachment of B to A with one or more of the group  
 25 consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;  
 $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected  
 from the group consisting of hydrido, amidino, guanidino,  
 carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy,  
 amino, methoxyamino, ethoxyamino, acetamido,  
 30 trifluoroacetamido, N-methylamino, dimethylamino, N-  
 ethylamino, methylthio, ethylthio, isopropylthio,  
 trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,  
 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-  
 tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl,  
 35 N-methylamidofulfonyl, N,N-dimethylamidofulfonyl,  
 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-

trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q<sup>b</sup>;

A is selected from the group consisting of bond, NH, N(CH<sub>3</sub>), N(OH), CH<sub>2</sub>, CH<sub>3</sub>CH, CF<sub>3</sub>CH, NHC(O), N(CH<sub>3</sub>)C(O), C(O)NH, C(O)N(CH<sub>3</sub>), CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, CH<sub>3</sub>CHCH<sub>2</sub>, and CF<sub>3</sub>CHCH<sub>2</sub>;

Ja is N or C-X<sup>0</sup>;

Jb is N or C-R<sup>1</sup>;

R<sup>1</sup> and X<sup>0</sup> are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

R<sup>2</sup> is Z<sup>0</sup>-Q;

Z<sup>0</sup> is selected from the group consisting of a bond, CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, O, S, NH, N(CH<sub>3</sub>), OCH<sub>2</sub>, SCH<sub>2</sub>, N(H)CH<sub>2</sub>, and N(CH<sub>3</sub>)CH<sub>2</sub>;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to Z<sup>0</sup> is optionally substituted by R<sup>9</sup>, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>13</sup>, a carbon adjacent to R<sup>9</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>10</sup>, a carbon adjacent to R<sup>13</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>12</sup>, and

any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-

cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano, cyclobutoxy, cyclohexoxy, cyclohexylmethoxy, 4-trifluoromethylcyclohexylmethoxy, cyclopentoxo, benzyl, benzyloxy, 4-bromo-3-fluorophenoxy, 3-bromobenzyloxy, 4-bromobenzyloxy, 4-bromobenzylamino, 5-bromopyrid-2-ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl, 4-chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-ethylbenzylamino, 4-chloro-3-ethylphenylamino, 3-chlorobenzyloxy, 4-chlorobenzyloxy, 4-chlorobenzylsulfonyl, 4-chlorophenylamino, 4-chlorophenylsulfonyl, 5-chloropyrid-3-yloxy, 2-cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy, 2,4-difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-difluorobenzyloxy, 3,5-difluorophenoxy, 3,5-difluorobenzyloxy, 4-difluoromethoxybenzyloxy, 2,3-difluorophenoxy, 2,4-difluorophenoxy, 2,5-difluorophenoxy, 3,5-dimethylphenoxy, 3,4-dimethylphenoxy, 3,4-dimethylbenzyloxy, 3,5-dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-ethylphenoxy, 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzyloxy, 2-fluoro-3-trifluoromethylbenzyloxy, 3-fluoro-5-trifluoromethylbenzyloxy, 4-fluoro-2-trifluoromethylbenzyloxy, 4-fluoro-3-trifluoromethylbenzyloxy, 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy, 2-fluorobenzyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, 4-isopropylbenzyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino, 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino, phenylsulfonyl, 3-trifluoromethoxybenzyloxy, 4-trifluoromethoxybenzyloxy, 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy, 3-



trifluoromethylbenzyloxy, 4-trifluoromethylbenzyloxy,  
 2,4-bis-trifluoromethylbenzyloxy, 3-  
 trifluoromethylbenzyl, 3,5-bis-trifluoromethylbenzyloxy,  
 4-trifluoromethylphenoxy, 3-trifluoromethylphenoxy, 3-  
 5 trifluoromethylthiobenzyloxy, 4-  
 trifluoromethylthiobenzyloxy, 2,3,4-trifluorophenoxy,  
 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-  
 (1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-  
 trifluoromethylthiophenoxy;

10  $Y^0$  is selected from the group consisting of:

1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -  
 4- $R^{18}$ -3- $R^{19}$ pyridine, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 2- $Q^b$ -  
 5- $Q^s$ -3- $R^{16}$ -6- $R^{18}$ pyrazine, 3- $Q^b$ -6- $Q^s$ -2- $R^{18}$ -5- $R^{18}$ -4-  
 15  $R^{19}$ pyridazine, 2- $Q^b$ -5- $Q^s$ -4- $R^{17}$ -6- $R^{18}$ pyrimidine, 5- $Q^b$ -2- $Q^s$ -4-  
 $R^{16}$ -6- $R^{19}$ pyrimidine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, 2- $Q^b$ -5-  
 $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ furan, 2- $Q^b$ -5- $Q^s$ -  
 3- $R^{16}$ -4- $R^{17}$ furan, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ pyrrole, 2- $Q^b$ -5- $Q^s$ -3-  
 $R^{16}$ -4- $R^{17}$ pyrrole, 4- $Q^b$ -2- $Q^s$ -5- $R^{19}$ imidazole, 2- $Q^b$ -4- $Q^s$ -5-  
 $R^{17}$ imidazole, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ isoxazole, 5- $Q^b$ -3- $Q^s$ -4-  
 20  $R^{16}$ isoxazole, 2- $Q^b$ -5- $Q^s$ -4- $R^{16}$ pyrazole, 4- $Q^b$ -2- $Q^s$ -5-  
 $R^{19}$ thiazole, and 2- $Q^b$ -5- $Q^s$ -4- $R^{17}$ thiazole;

$R^{17}$  and  $R^{18}$  are independently selected from the group  
 consisting of hydrido, methyl, ethyl, isopropyl, propyl,  
 carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy,  
 25 propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-  
 aminoethyl, N-methylamino, dimethylamino, N-ethylamino,  
 methylthio, ethylthio, isopropylthio,  
 trifluoromethylthio, methylsulfinyl, ethylsulfinyl,  
 methylsulfonyl, ethylsulfonyl, trifluoromethyl,  
 30 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-  
 pentafluoropropyl, trifluoromethoxy, 1,1,2,2-  
 tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl,  
 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

$R^{16}$  or  $R^{19}$  are selected from the group consisting of:

35 (i) hydrido, methyl, ethyl, isopropyl, propyl,  
 carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy,

propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano; and

(ii)  $\text{NR}^{20}\text{R}^{21}$ ,  $\text{C}(\text{NR}^{25})\text{NR}^{23}\text{R}^{24}$ , and  $\text{N}(\text{R}^{26})\text{C}(\text{NR}^{25})\text{N}(\text{R}^{23})(\text{R}^{24})$ , with the proviso that  $\text{R}^{16}$ ,  $\text{R}^{19}$ , and  $\text{Q}^b$  are not simultaneously hydrido;

$\text{Q}^b$  is selected from the group consisting of  $\text{NR}^{20}\text{R}^{21}$ , hydrido,  $\text{C}(\text{NR}^{25})\text{NR}^{23}\text{R}^{24}$ , and  $\text{N}(\text{R}^{26})\text{C}(\text{NR}^{25})\text{N}(\text{R}^{23})(\text{R}^{24})$ , with the proviso that no more than one of  $\text{R}^{20}$  and  $\text{R}^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $\text{R}^{23}$  and  $\text{R}^{24}$  is hydroxy at the same time;

$\text{R}^{20}$ ,  $\text{R}^{21}$ ,  $\text{R}^{23}$ ,  $\text{R}^{24}$ ,  $\text{R}^{25}$ , and  $\text{R}^{26}$  are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

$\text{Q}^b$  is selected from the group consisting of a bond,  $\text{CH}_2$ , and  $\text{CH}_2\text{CH}_2$ .

84. The compound of claim 83 or a pharmaceutically acceptable salt thereof, wherein;

M is  $\text{N} \rightarrow \text{O}$ ;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl,

2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-

hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

5 A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{NHC(O)}$ ,  $\text{CH}_2\text{CH}_2$ ,  $\text{CH}_2\text{CH}_2\text{CH}_2$ , and  $\text{CH}_3\text{CHCH}_2$ ;

Ja is N or  $\text{C-X}^0$ ;

Jb is N or  $\text{C-R}^1$ ;

10  $\text{R}^1$  and  $\text{X}^0$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$\text{R}^2$  is  $\text{Z}^0\text{-Q}$ ;

15  $\text{Z}^0$  is selected from the group consisting of a bond,  $\text{CH}_2$ , O, S, NH,  $\text{N(CH}_3\text{)}$ ,  $\text{OCH}_2$ , and  $\text{SCH}_2$ ;

Q is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl, 3-amino-5-benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl, 3-amino-5-benzyloxyphenyl, 3-amino-5-(2-phenylethoxy)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidodisulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-

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cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl,  
 3-amino-5-hydroxymethylphenyl, 5-amino-3-  
 methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-  
 methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl,  
 5 3-amino-5-(4-trifluoromethylbenzylamino)phenyl, 3-amino-  
 5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl, 3-  
 carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-  
 chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-  
 diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-  
 10 fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-  
 methanesulfonylaminophenyl, 2-methoxyphenyl, 3-  
 methoxyphenyl, 3-methoxyaminophenyl, 3-  
 methoxycarbonylphenyl, 2-methylaminophenyl, 3-  
 methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-  
 15 methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-  
 trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-  
 2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-  
 pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of:

20 1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -  
 4- $R^{18}$ -3- $R^{19}$ pyridine, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 3- $Q^b$ -  
 5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, and 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene;

$R^{16}$  and  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, amino, aminomethyl, methoxy,  
 25 methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and  
 cyano; and

(ii)  $C(NR^{25})NR^{23}R^{24}$  with the proviso that  $R^{16}$ ,  $R^{19}$ , and  
 $Q^b$  are not simultaneously hydrido and not more than one of  
 $R^{16}$  may  $C(NR^{25})NR^{23}R^{24}$  at the same time;

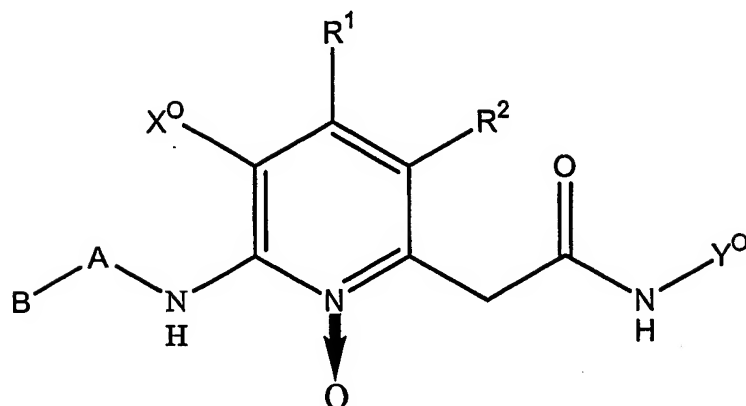
30  $R^{17}$  and  $R^{18}$  are independently selected from the group  
 consisting of hydrido, fluoro, chloro, hydroxy,  
 hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$  or hydrido;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

35  $Q^s$  is  $CH_2$ .

85. The compound of claim 82 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $N(R^7)$ ;

$R^7$  is hydrido or alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$R^1$  and  $X^o$  are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$  is  $Z^o-Q$ ;

$Z^0$  is a bond;

Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, hydroxy, amino, alkylamino, alkylsulfonamido, amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido, carboxyalkyl, and cyano;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^a$ , a carbon two or three atoms from the point of attachment of  $Q^a$  to said phenyl or said heteroaryl is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy,

haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

5  $R^{16}$  and  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and

10 (ii)  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

15  $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently hydrido or alkyl;

$Q^b$  is  $CH_2$ .

20 86. The compound of claim 85 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butyryl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-

35

ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl,

5 wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

10  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidulosulfonyl, hydroxymethyl, amidocarbonyl, 15 carboxy, cyano, and  $Q^b$ ;

A is selected from the group consisting of:

(i) a bond, NH,  $N(CH_3)$ ,  $CH_2$ ,  $CH_3CH$ , and  $CH_2CH_2$ ; and  
(ii)  $CH_2N(CH_3)$ ,  $CH_2N(CH_2CH_3)$ ,  $CH_2CH_2N(CH_3)$ , and  
20  $CH_2CH_2N(CH_2CH_3)$  with the proviso that B is hydrido;

$X^o$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro, and fluoro;

25  $R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

30  $R^2$  is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to the pyridine ring is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment

35



is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

5  $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl,  
10 hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidodisulfonyl, N-(2-chlorobenzyl)amidodisulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, methoxyamino, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, methanesulfonamido, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

$Y^0$  is selected from the group consisting of:

35  $1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,  $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-3-R^{19}$ pyridine,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene,  $3-Q^b-6-$

$Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ furan, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ furan, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ pyrrole, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ pyrrole, 4- $Q^b$ -2- $Q^s$ -5- $R^{19}$ thiazole, and 2- $Q^b$ -5- $Q^s$ -4- $R^{17}$ thiazole;

5  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, 10 methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ ;

15  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, methyl, and ethyl;

$Q^s$  is  $CH_2$ .

20 87. The compound of claim 86 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 25 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of a bond,  $CH_2$ ,  $CH_3CH$ , and  $CH_2CH_2$ ;

35  $X^o$  is selected from the group consisting of hydrido,

hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

$R^2$  is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-

methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

5  $Y^0$  is selected from the group consisting of:

1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -3- $R^{19}$ pyridine, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, and 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene;

10  $R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

15  $R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

$Q^s$  is  $CH_2$ .

20 88. The compound of claim 87 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 25 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of a bond,  $CH_2$ ,  $CH_3CH$ , and  $CH_2CH_2$ ;

35  $X^0$  is selected from the group consisting of hydrido,

hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

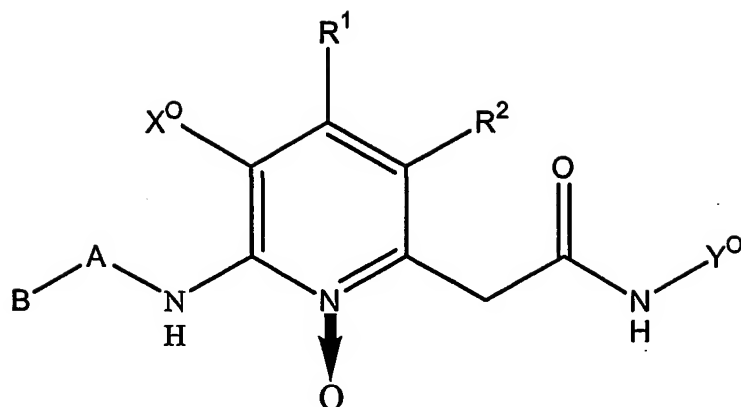
$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

$R^2$  is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl, 3-carboxy-5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

89. The compound of Claim 82 wherein the compound is selected from the group consisting of:

380



or a pharmaceutically acceptable salt thereof, wherein;

$R^2$  is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is (S)-2-butyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 5-amino-2-fluorophenyl, B is isopropyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 2-methyl-3-aminophenyl, B is isopropyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is ethyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is ethyl, A is a bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is 2-propenyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is isopropyl, A is a bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is isopropyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is 2-butyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is (R)-2-butyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

$R^2$  is 3-aminophenyl, B is 2-propynyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

R<sup>2</sup> is 3-aminophenyl, B is 3-pentyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 3-aminophenyl, B is hydrido, A is CH<sub>2</sub>, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

5 R<sup>2</sup> is 3-aminophenyl, B is ethyl, A is CH<sub>2</sub>, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-aminophenyl, B is 2-methypropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

10 R<sup>2</sup> is 3-aminophenyl, B is 2-propyl, A is CH<sub>3</sub>CH, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-aminophenyl, B is propyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

15 R<sup>2</sup> is 3-aminophenyl, B is tert-butyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 3-aminophenyl, B is tert-butyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

20 R<sup>2</sup> is 3-aminophenyl, B is 3-hydroxypropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-aminophenyl, B is 2-methylpropyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-aminophenyl, B is butyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

25 R<sup>2</sup> is 3-aminophenyl, B is 1-methoxy-2-propyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-aminophenyl, B is 2-methoxyethyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

30 R<sup>2</sup> is 3-aminophenyl, B is 2-propyl, A is a bond, Y<sup>0</sup> is 5-amidino-2-thienylmethyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 5-amino-2-methylthiophenyl, B is 2-propyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-carboxyphenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

35 R<sup>2</sup> is 3-amino-5-carbomethoxyphenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-aminophenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is bromo;

R<sup>2</sup> is 3-amino-5-carboxamidophenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

5 R<sup>2</sup> is 3-amino-5-(N-benzyl-N-methylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

10 R<sup>2</sup> is 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-(2-phenyl-2-propyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

15 R<sup>2</sup> is 3-amino-5-(N-(2,4-dichlorobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-(4-bromobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

20 R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

25 R<sup>2</sup> is 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

30 R<sup>2</sup> is 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

35 R<sup>2</sup> is 3-amino-5-(N-(3-trifluoromethylbenzyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;



R<sup>2</sup> is 3-amino-5-(N-isobutylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

5 R<sup>2</sup> is 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

10 R<sup>2</sup> is 3-amino-5-(N-cycloheptylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

15 R<sup>2</sup> is 3-amino-5-(N-(2-pyridylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-(3-pyridylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

20 R<sup>2</sup> is 3-amino-5-(N-(2-(4-methoxyphenyl)ethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-(3-phenylpropyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

25 R<sup>2</sup> is 3-amino-5-(N-(2,2-diphenylethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

30 R<sup>2</sup> is 3-amino-5-(N-(2-naphthylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-(1,2,3,4-tetrahydronaphth-2-ylmethyl)amidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

35 R<sup>2</sup> is 3-aminophenyl, B is 2-propyl, A is a bond, Y<sup>0</sup> is 4-amidino-3-fluorobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 3-carboxyphenyl, B is 2-propyl, A is a bond, Y<sup>0</sup>

is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 3-aminophenyl, B is 2-propyl, A is a bond, Y<sup>0</sup> is 4-amidino-3-fluorobenzyl, and R<sup>1</sup> is chloro;

5 R<sup>2</sup> is 3,5-diaminophenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3,5-diaminophenyl, B is (S)-2-butyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3,5-diaminophenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

10 R<sup>2</sup> is 3,5-diaminophenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzylbenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3,5-diaminophenyl, B is ethyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

15 R<sup>2</sup> is 3,5-diaminophenyl, B is ethyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-carboxyphenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

20 R<sup>2</sup> is 3-amino-5-carboxyphenyl, B is (S)-2-butyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-carboxyphenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzylbenzyl, and R<sup>1</sup> is chloro;

25 R<sup>2</sup> is 3-amino-5-carboxyphenyl, B is ethyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-carboxyphenyl, B is ethyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

30 R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is 2,2,2-trifluoroethyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is (S)-2-butyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

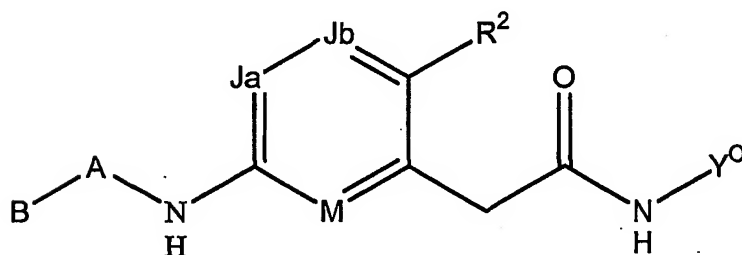
35 R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzylbenzyl, and R<sup>1</sup> is chloro;

$R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is ethyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro;

5  $R^2$  is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is ethyl, A is a bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and  $R^1$  is chloro;

$R^2$  is 3,5-diaminophenyl, B is isopropyl, A is a bond,  $Y^0$  is 4-amidinobenzylbenzyl, and  $R^1$  is hydrido.

90. The compound of claim 67 having the structure:



10 or a pharmaceutically acceptable salt thereof, wherein;

M is N or N→O;

B is a C3-C7 cycloalkyl or a C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{12}$

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position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;

5            $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboxy, carboxamido, and cyano;

10            $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkoxyamino, alkylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino, heterocyclylalkylamino, alkylsulfonamido, amidosulfonyl, arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl, heteroarylsulfinyl, arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl, heteroarylsulfonyl, hydroxyalkyl, hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, halo, haloalkyl, and cyano;

25            $R^{34}$  is selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

30            $R^{33}$  is selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyhaloalkyl, carboalkoxy, carboxy,

carboxamido, cyano, and  $Q^b$ ;

A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $(R^7)NC(O)$  or  $N(R^7)$ ;

5  $R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$Ja$  is N or  $C-X^0$ ;

10  $Jb$  is N or  $C-R^1$ ;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

15  $R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond,  $CH_2$ ,  $CH_2CH_2$ ,  $W^0-(CH(R^{42}))_p$  wherein  $p$  is 0 or 1 and  $W^0$  is selected from the group consisting of O, S, and  $N(R^{41})$ ;

20  $R^{41}$  and  $R^{42}$  are independently hydrido or alkyl;

$Q$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

25  $Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^a$ , a carbon two or three atoms from the point of attachment of  $Q^a$  to said phenyl or said heteroaryl is substituted by  $Q^b$ , a carbon adjacent to the

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point of attachment of  $Q^a$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and

(ii)  $NR^{20}R^{21}$  or  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido, and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^a$  is selected from the group consisting of a bond,  $CH_2$ , and  $CH_2CH_2$ .

91. The compound of claim 90 or a pharmaceutically acceptable salt thereof, wherein;

M is N or  $N \rightarrow O$ ;

B is selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, norbornyl, 7-oxabicyclo[2.2.1]heptan-2-yl,

bicyclo[3.1.0]hexan-6-yl, cycloheptyl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuran-2-yl, 3-tetrahydrofuran-3-yl, 2-tetrahydropyran-2-yl, 3-tetrahydropyran-3-yl, 4-tetrahydropyran-4-yl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , and a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,

methoxyamino, ethoxyamino, acetamido,  
trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-  
aminoethyl, N-methylamino, dimethylamino, N-ethylamino,  
methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl,  
5 N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl,  
2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl,  
methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-  
methylamidocarbonyl, N,N-dimethylamidocarbonyl, N-  
benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-  
10 (3-fluorobenzyl)amidocarbonyl, N-(2-  
trifluoromethylbenzyl)amidocarbonyl, N-(1-  
phenylethyl)amidocarbonyl, N-(1-methyl-1-  
phenylethyl)amidocarbonyl, N-benzylamidossulfonyl, N-(2-  
chlorobenzyl)amidossulfonyl, N-ethylamidocarbonyl, N-  
15 isopropylamidocarbonyl, N-propylamidocarbonyl, N-  
isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-  
cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-  
cyclohexylamidocarbonyl, fluoro, chloro, bromo, cyano,  
cyclobutoxy, cyclohexoxy, cyclohexylmethoxy, 4-  
20 trifluoromethylcyclohexylmethoxy, cyclopentoxy, benzyl,  
benzyloxy, 4-bromo-3-fluorophenoxy, 3-bromobenzyloxy, 4-  
bromobenzyloxy, 4-bromobenzylamino, 5-bromopyrid-2-  
ylmethylamino, 4-butoxyphenamino, 3-chlorobenzyl, 4-  
chlorophenoxy, 4-chloro-3-ethylphenoxy, 4-chloro-3-  
25 ethylbenzylamino, 4-chloro-3-ethylphenylamino, 3-  
chlorobenzyloxy, 4-chlorobenzyloxy, 4-  
chlorobenzylsulfonyl, 4-chlorophenylamino, 4-  
chlorophenylsulfonyl, 5-chloropyrid-3-yloxy, 2-  
cyanopyrid-3-yloxy, 2,3-difluorobenzyloxy, 2,4-  
30 difluorobenzyloxy, 3,4-difluorobenzyloxy, 2,5-  
difluorobenzyloxy, 3,5-difluorophenoxy, 3,5-  
difluorobenzyloxy, 4-difluoromethoxybenzyloxy, 2,3-  
difluorophenoxy, 2,4-difluorophenoxy, 2,5-  
difluorophenoxy, 3,5-dimethylphenoxy, 3,4-  
35 dimethylphenoxy, 3,4-dimethylbenzyloxy, 3,5-  
dimethylbenzyloxy, 4-ethoxyphenoxy, 4-ethylbenzyloxy, 3-



ethylphenoxy, 4-ethylaminophenoxy, 3-ethyl-5-methylphenoxy, 4-fluorobenzoyloxy, 2-fluoro-3-trifluoromethylbenzoyloxy, 3-fluoro-5-trifluoromethylbenzoyloxy, 4-fluoro-2-trifluoromethylbenzoyloxy, 4-fluoro-3-trifluoromethylbenzoyloxy, 2-fluorophenoxy, 4-fluorophenoxy, 2-fluoro-3-trifluoromethylphenoxy, 2-fluorobenzoyloxy, 4-fluorophenylamino, 2-fluoro-4-trifluoromethylphenoxy, 4-isopropylbenzoyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, 4-isopropylbenzoyloxy, 3-isopropylphenoxy, 4-isopropylphenoxy, 4-isopropyl-3-methylphenoxy, phenylamino, 1-phenylethoxy, 2-phenylethoxy, 2-phenylethyl, 2-phenylethylamino, phenylsulfonyl, 3-trifluoromethoxybenzoyloxy, 4-trifluoromethoxybenzoyloxy, 3-trifluoromethoxyphenoxy, 4-trifluoromethoxyphenoxy, 3-trifluoromethylbenzoyloxy, 4-trifluoromethylbenzoyloxy, 2,4-bis-trifluoromethylbenzoyloxy, 3-trifluoromethylbenzyl, 3,5-bis-trifluoromethylbenzoyloxy, 4-trifluoromethylphenoxy, 3-trifluoromethylphenoxy, 3-trifluoromethylthiobenzoyloxy, 4-trifluoromethylthiobenzoyloxy, 2,3,4-trifluorophenoxy, 2,3,5-trifluorophenoxy, 3-pentafluoroethylphenoxy, 3-(1,1,2,2-tetrafluoroethoxy)phenoxy, and 3-trifluoromethylthiophenoxy;

$R^{33}$  is selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl,

methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and  $Q^b$ ;

A is selected from the group consisting of a bond, NH,  $N(CH_3)$ ,  $N(OH)$ ,  $CH_2$ ,  $CH_3CH$ ,  $CF_3CH$ ,  $NHC(O)$ ,  $N(CH_3)C(O)$ ,  $C(O)NH$ ,  $C(O)N(CH_3)$ ,  $CH_2CH_2$ ,  $CH_2CH_2CH_2$ ,  $CH_3CHCH_2$ , and  $CF_3CHCH_2$ ;

$Ja$  is N or  $C-X^0$ ;

$Jb$  is N or  $C-R^1$ ;

$R^1$  and  $X^0$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a bond,  $CH_2$ ,  $CH_2CH_2$ , O, S, NH,  $N(CH_3)$ ,  $OCH_2$ ,  $SCH_2$ ,  $N(H)CH_2$ , and  $N(CH_3)CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the

point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$Y^0$  is selected from the group consisting of:

5        1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -3- $R^{19}$ pyridine, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -6- $R^{18}$ pyrazine, 3- $Q^b$ -6- $Q^s$ -2- $R^{18}$ -5- $R^{18}$ -4- $R^{19}$ pyridazine, 2- $Q^b$ -5- $Q^s$ -4- $R^{17}$ -6- $R^{18}$ pyrimidine, 5- $Q^b$ -2- $Q^s$ -4- $R^{16}$ -6- $R^{19}$ pyrimidine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ furan, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ furan, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ pyrrole, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ pyrrole, 4- $Q^b$ -2- $Q^s$ -5- $R^{19}$ imidazole, 2- $Q^b$ -4- $Q^s$ -5- $R^{17}$ imidazole, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ isoxazole, 5- $Q^b$ -3- $Q^s$ -4- $R^{16}$ isoxazole, 2- $Q^b$ -5- $Q^s$ -4- $R^{16}$ pyrazole, 4- $Q^b$ -2- $Q^s$ -5- $R^{19}$ thiazole, and 2- $Q^b$ -5- $Q^s$ -4- $R^{17}$ thiazole;

15         $R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano;

$R^{16}$  and  $R^{19}$  are selected from the group consisting of:

30        (i) hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-

pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, and cyano; and

(ii)  $C(NR^{25})NR^{23}R^{24}$  with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$  or hydrido, with the proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

$Q^s$  is selected from the group consisting of a bond,  $CH_2$  and  $CH_2CH_2$ .

92. The compound of claim 91 or a pharmaceutically acceptable salt thereof, wherein;

M is  $N \rightarrow O$ ;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1-pyrrolidinyl, 1-piperidinyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl;

A is selected from the group consisting of a bond,  $CH_2$ ,  $NHC(O)$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

$Ja$  is N or  $C-X^0$ ;

$Jb$  is N or  $C-R^1$ ;

$R^1$  and  $X^0$  are independently selected from the group

consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

5            $R^2$  is  $Z^0$ -Q;

$Z^0$  is selected from the group consisting of a bond,  $CH_2$ , O, S, NH,  $N(CH_3)$ ,  $OCH_2$ , and  $SCH_2$ ;

Q is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-benzylphenyl, 3-amino-5-(2-phenylethyl)phenyl, 3-amino-5-benzylaminophenyl, 3-amino-5-(2-phenylethylamino)phenyl, 3-amino-5-benzyloxyphenyl, 3-amino-5-(2-phenylethoxy)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-amino-5-(4-trifluoromethylbenzylamino)phenyl, 3-amino-5-(4-trifluoromethylbenzyloxy)phenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-amino-5-carboxyphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-

diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of:

1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -3- $R^{19}$ pyridine, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, and 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene;

$R^{16}$  and  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

(ii)  $C(NR^{25})NR^{23}R^{24}$  with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

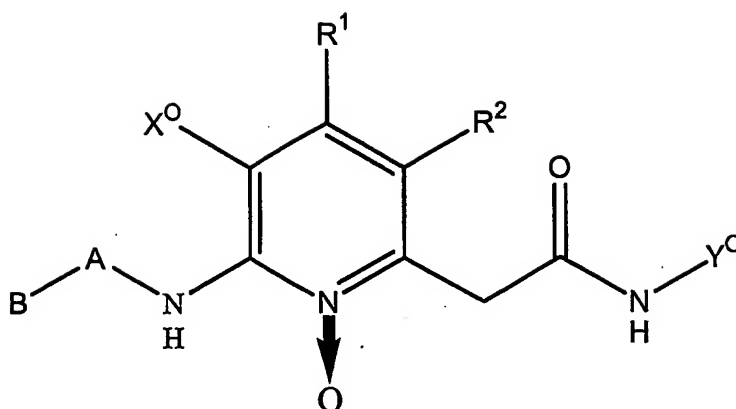
$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$  or hydrido;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

$Q^s$  is  $CH_2$ .

93. The compound of claim 90 having the structure:

397



or a pharmaceutically acceptable salt thereof, wherein;

B is a C3-C7 cycloalkyl or a C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, alkylamino, alkylthio, alkoxy, alkylsulfinyl,

alkylsulfonyl, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

5         $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, hydroxy, amino, alkylamino, alkylsulfonamido, amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido, carboxyalkyl, and cyano;

10         $R^{34}$  is independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

15         $R^{33}$  is selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano and  $Q^b$ ;

20        A is a bond or  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is 0 or 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $N(R^7)$ ;

25         $R^7$  is hydrido or alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

30         $R_1$  and  $X^o$  are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$  is  $Z^o-Q$ ;

$Z^o$  is a bond;

35        Q is phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of



attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$Y^0$  is phenyl or a heteroaryl of 5 or 6 ring members, wherein one carbon of said phenyl or said heteroaryl is substituted by  $Q^a$ , a carbon two or three atoms from the point of attachment of  $Q^a$  to said phenyl or said heteroaryl is substituted by  $Q^b$ , a carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{17}$ , another carbon adjacent to the point of attachment of  $Q^a$  is optionally substituted by  $R^{18}$ , a carbon adjacent to  $Q^b$  is optionally substituted by  $R^{16}$ , and another carbon adjacent to  $Q^b$  is optionally substituted by  $R^{19}$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and

(ii)  $NR^{20}R^{21}$  or  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido, and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or

alkyl;

Q<sup>8</sup> is CH<sub>2</sub>.

94. The compound of claim 93 or a pharmaceutically acceptable salt thereof, wherein;

5 B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl,  
10 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-  
15 tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with R<sup>33</sup>, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R<sup>9</sup> or R<sup>13</sup>, a ring carbon or  
20 nitrogen adjacent to the R<sup>9</sup> position and two atoms from the point of attachment are optionally substituted with R<sup>10</sup>, and a ring carbon or nitrogen atom adjacent to the R<sup>13</sup> position and two atoms from the point of attachment is optionally substituted with R<sup>12</sup>; R<sup>9</sup>, R<sup>11</sup>, and R<sup>13</sup> are  
25 independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl,  
30 hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

R<sup>10</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, N-benzylamidocarbonyl, N-(2-

35

chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidosulfonyl, N-(2-chlorobenzyl)amidosulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, methoxyamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methanesulfonamido, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

$R^{33}$  is selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, carboxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, cyano, and  $Q^b$ ;

A is selected from the group consisting of a bond, NH,  $N(CH_3)$ ,  $CH_2$ ,  $CH_3CH$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

$X^o$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, chloro, and fluoro;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$  is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-

thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl,  
 wherein a carbon adjacent to the carbon at the point of  
 attachment of said phenyl or heteroaryl ring to the  
 pyridine ring is optionally substituted by R<sup>9</sup>, the other  
 5 carbon adjacent to the carbon at the point of attachment  
 is optionally substituted by R<sup>13</sup>, a carbon adjacent to R<sup>9</sup>  
 and two atoms from the carbon at the point of attachment  
 is optionally substituted by R<sup>10</sup>, a carbon adjacent to R<sup>13</sup>  
 and two atoms from the carbon at the point of attachment  
 10 is optionally substituted by R<sup>12</sup>, and any carbon adjacent  
 to both R<sup>10</sup> and R<sup>12</sup> is optionally substituted by R<sup>11</sup>;

Y<sup>0</sup> is selected from the group consisting of:

1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup>benzene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-  
 4-R<sup>18</sup>-3-R<sup>19</sup>pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup>thiophene, 3-Q<sup>b</sup>-6-  
 15 Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup>pyridine, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup>thiophene,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup>furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup>furan, 3-Q<sup>b</sup>-5-  
 Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup>pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup>pyrrole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-  
 5-R<sup>19</sup>thiazole, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup>thiazole;

R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from  
 20 the group consisting of hydrido, methyl, ethyl, amidino,  
 guanidino, methoxy, hydroxy, amino, aminomethyl, 1-  
 aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,  
 methylthio, ethylthio, trifluoromethylthio,  
 methylsulfinyl, methylsulfonyl, trifluoromethyl,  
 25 pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy,  
 fluoro, chloro, hydroxymethyl, carboxy, and cyano;

Q<sup>b</sup> is NR<sup>20</sup>R<sup>21</sup> or C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>;

R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, R<sup>24</sup>, and R<sup>25</sup> are independently selected  
 from the group consisting of hydrido, methyl, and ethyl;

Q<sup>s</sup> is CH<sub>2</sub>.

95. The compound of claim 94 or a pharmaceutically  
 acceptable salt thereof, wherein;

B is selected from the group consisting of  
 cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-  
 35 2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl,

azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-piperidinyl;

A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{CH}_2\text{CH}_2$  and  $\text{CH}_2\text{CH}_2\text{CH}_2$ ;

5  $\text{X}^\circ$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

$\text{R}^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano,  
10 methyl, trifluoromethyl, and fluoro;

$\text{R}^2$  is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-

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fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

10  $Y^0$  is selected from the group consisting of:

1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$ benzene, 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -3- $R^{19}$ pyridine, 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$ pyridine, 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$ thiophene, and 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$ thiophene;

15  $R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

20  $Q^b$  is  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently hydrido or methyl;

$Q^s$  is  $CH_2$ .

25 96. The compound of claim 95 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and 1-piperidinyl;

30 A is selected from the group consisting of a bond,  $CH_2$ ,  $CH_2CH_2$  and  $CH_2CH_2CH_2$ ;

$X^0$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

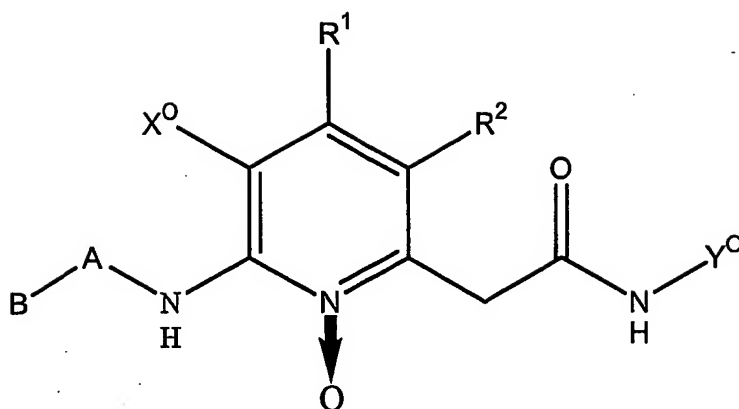
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$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

$R^2$  is selected from the group consisting of 3-  
5 amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-  
10 (1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-  
15 isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl, 3-carboxy-  
20 5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-  
30 amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

97. The compound of claim 90 wherein the compound is selected from the group consisting of:



or a pharmaceutically acceptable salt thereof, wherein;

R² is 3-aminophenyl, B is cyclopropyl, A is a bond, Y⁰ is 4-amidinobenzyl, and R¹ is chloro;

R² is 3-aminophenyl, B is cyclobutyl, A is a bond, Y⁰ is 4-amidino-2-fluorobenzyl, and R¹ is chloro;

R² is 3-aminophenyl, B is cyclobutyl, A is a bond, Y⁰ is 4-amidinobenzyl, and R¹ is chloro;

R² is 3-aminophenyl, B is cyclopropyl, A is a bond, Y⁰ is 4-amidino-2-fluorobenzyl, and R¹ is chloro;

R² is 3-aminophenyl, B is cyclobutyl, A is a bond, Y⁰ is 4-amidinobenzyl, and R¹ is hydrido;

R² is 3-aminophenyl, B is cyclobutyl, A is a bond, Y⁰ is 4-amidino-3-fluorobenzyl, and R¹ is chloro;

R² is 3-aminophenyl, B is cyclopentyl, A is a bond, Y⁰ is 4-amidinobenzyl, and R¹ is chloro;

R² is 5-amino-2-thienyl, B is cyclobutyl, A is a bond, Y⁰ is 4-amidinobenzyl, and R¹ is chloro;

R² is 3-aminophenyl, B is cyclopropyl, A is CH₂, Y⁰ is 4-amidinobenzyl, and R¹ is chloro;

R² is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is a bond, Y⁰ is 4-amidinobenzyl, and R¹ is chloro;

R² is 3-aminophenyl, B is cyclopentyl, A is a bond, Y⁰ is 4-amidino-2-fluorobenzyl, and R¹ is chloro;

R² is 3-aminophenyl, B is cyclohexyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and R¹ is hydrido;



R<sup>2</sup> is 3-aminophenyl, B is oxalan-2-yl, A is CH<sub>2</sub>, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-aminophenyl, B is 1-piperidinyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

5 R<sup>2</sup> is 3-aminophenyl, B is 1-pyrrolidinyl, A is CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-carbomethoxyphenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

10 R<sup>2</sup> is 3-amino-5-carboxyphenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 2-amino-6-carboxy-4-pyridyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

15 R<sup>2</sup> is 3-amino-5-carbomethoxyphenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-carboxyphenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

20 R<sup>2</sup> is 3,5-diaminophenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3,5-diaminophenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

25 R<sup>2</sup> is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 3,5-diaminophenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-3-fluorobenzyl, and R<sup>1</sup> is chloro;

30 R<sup>2</sup> is 3,5-diaminophenyl, B is cyclopentyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

35 R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-3-fluorobenzyl, and R<sup>1</sup> is chloro;

5 R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is cyclopentyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

10 R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

20 R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-3-fluorobenzyl, and R<sup>1</sup> is chloro;

25 R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclopentyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

30 R<sup>2</sup> is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

35 R<sup>2</sup> is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

5 R<sup>2</sup> is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

R<sup>2</sup> is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-3-fluorobenzyl, and R<sup>1</sup> is chloro;

10 R<sup>2</sup> is 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, B is cyclopentyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

15 R<sup>2</sup> is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

20 R<sup>2</sup> is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is chloro;

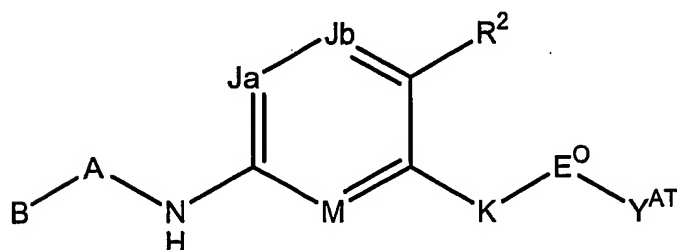
25 R<sup>2</sup> is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclopropyl, A is a bond, Y<sup>0</sup> is 4-amidino-2-fluorobenzyl, and R<sup>1</sup> is chloro;

30 R<sup>2</sup> is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidinobenzyl, and R<sup>1</sup> is hydrido;

35 R<sup>2</sup> is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclobutyl, A is a bond, Y<sup>0</sup> is 4-amidino-3-fluorobenzyl, and R<sup>1</sup> is chloro;

$R^2$  is 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)-phenyl, B is cyclopentyl, A is a bond,  $Y^0$  is 4-amidinobenzyl, and  $R^1$  is chloro.

5 98. The compound of claim 67 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

M is N or N→O;

B is selected from the group consisting of:

10 (i) phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

20 (ii) hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ; and

25 (iii) C3-C12 cycloalkyl or a C4-C9 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is

optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, alkoxyamino, haloalkanoyl, nitro, alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

$R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, cycloalkoxy, cycloalkylalkoxy, aralkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, heterocyclylalkoxy, hydroxy, amino, alkylamino, N-alkyl-N-arylamino, arylamino, aralkylamino, heteroarylamino, heteroaralkylamino, heterocyclylamino,

heterocyclalkylamino, alkylthio, alkylsulfinyl,  
 arylsulfinyl, aralkylsulfinyl, cycloalkylsulfinyl,  
 heteroarylsulfinyl, alkylsulfamido, alkylsulfonyl,  
 arylsulfonyl, aralkylsulfonyl, cycloalkylsulfonyl,  
 5 heteroarylsulfonyl, amidosulfonyl, alkyl, aryl, aralkyl,  
 cycloalkyl, cycloalkylalkyl, heteroaryl, heterocycl,  
 halo, haloalkyl, haloalkoxy, hydroxyalkyl,  
 hydroxyhaloalkyl, aminoalkyl, carboalkoxy, carboxy,  
 carboxyalkyl, carboxamido, and cyano;

10 A is a bond or  $(\text{CH}(\text{R}^{15}))_{\text{pa}}-(\text{W}^7)_{\text{rr}}$  wherein rr is 0 or 1,  
 pa is an integer selected from 0 through 3, and  $\text{W}^7$  is  
 selected from the group consisting of O, S,  $\text{C}(\text{O})$ ,  
 $(\text{R}^7)\text{NC}(\text{O})$ ,  $(\text{R}^7)\text{NC}(\text{S})$ , and  $\text{N}(\text{R}^7)$ ;

15  $\text{R}^7$  is selected from the group consisting of hydrido,  
 hydroxy and alkyl;

$\text{R}^{15}$  is selected from the group consisting of hydrido,  
 hydroxy, halo, alkyl, and haloalkyl;

Ja is N or  $\text{C}-\text{X}^0$ ;

Jb is N or  $\text{C}-\text{R}^1$ ;

20  $\text{R}^1$  and  $\text{X}^0$  are independently selected from the group  
 consisting of hydrido, alkyl, cyano, halo, haloalkyl,  
 haloalkoxy, amino, aminoalkyl, alkylamino, amidino,  
 hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino,  
 thiol, and alkylthio;

25  $\text{R}^2$  is  $\text{Z}^0-\text{Q}$ ;

$\text{Z}^0$  is selected from the group consisting of:

30 (i) a bond,  $(\text{CR}^{41}\text{R}^{42})_{\text{q}}$  wherein q is 1 or 2, and  
 $(\text{CH}(\text{R}^{41}))_{\text{g}}-\text{W}^0-(\text{CH}(\text{R}^{42}))_{\text{p}}$  wherein g and p are integers  
 independently selected from 0 through 3 and  $\text{W}^0$  is selected  
 from the group consisting of O, S,  $\text{C}(\text{O})$ ,  $\text{S}(\text{O})$ ,  $\text{N}(\text{R}^{41})$ , and  
 $\text{ON}(\text{R}^{41})$ ; and

35 (ii)  $(\text{CH}(\text{R}^{41}))_{\text{e}}-\text{W}^{22}-(\text{CH}(\text{R}^{42}))_{\text{h}}$  wherein e and h are  
 independently 0 or 1 and  $\text{W}^{22}$  is selected from the group  
 consisting of  $\text{CR}^{41}=\text{CR}^{42}$ , 1,2-cyclopropyl, 1,2-cyclobutyl,  
 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-  
 cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-

morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, wherein  $Z^0$  is directly bonded to the pyridine ring and  $W^{22}$  is optionally substituted with one or more substituents selected from the group consisting of  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$ ;  $R^{41}$  and  $R^{42}$  are independently selected from the group consisting of hydrido, hydroxy, alkyl, and amino;

Q is selected from the group consisting of:

(i) phenyl or a heteroaryl of 5 or 6 ring members, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to  $Z^0$  is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ , with the proviso that Q is other than a phenyl when  $Z^0$  is a bond; and

(ii) hydrido with the proviso that  $Z^0$  is selected from other than a bond;

K is  $CHR^{4a}$  wherein  $R^{4a}$  is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

$E^0$  is selected from the group consisting of a bond,  $C(O)N(H)$ ,  $(H)NC(O)$ ,  $(R^7)NS(O)_2$ , and  $S(O)_2N(R^7)$ ;

$Y^{At}$  is  $Q^b-Q^s$ ;

$Q^s$  is  $(CR^{37}R^{38})_b$  wherein b is an integer selected from

1 through 4,  $R^{37}$  is selected from the group consisting of hydrido, alkyl, and haloalkyl, and  $R^{38}$  is selected from the group consisting of hydrido, alkyl, haloalkyl, aroyl, and heteroaroyl with the proviso that there is at least one aroyl or heteroaroyl substituent, with the further proviso that no more than one aroyl or heteroaroyl is bonded to  $(CR^{37}R^{38})_b$  at the same time, with the still further proviso that said aroyl and said heteroaroyl are optionally substituted with one or more substituents selected from the group consisting of  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$ , with another further proviso that said aroyl and said heteroaroyl are bonded to the  $CR^{37}R^{38}$  that is directly bonded to  $E^0$ , with still another further proviso that no more than one alkyl or one haloalkyl is bonded to a  $CR^{37}R^{38}$  at the same time, and with the additional proviso that said alkyl and haloalkyl are bonded to a carbon other than the one bonding said aroyl or said heteroaroyl;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  or  $R^{19}$  are selected from the group consisting of:

(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and

(ii)  $NR^{20}R^{21}$ ,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that  $R^{16}$ ,  $R^{19}$ , and  $Q^b$  are not simultaneously hydrido;

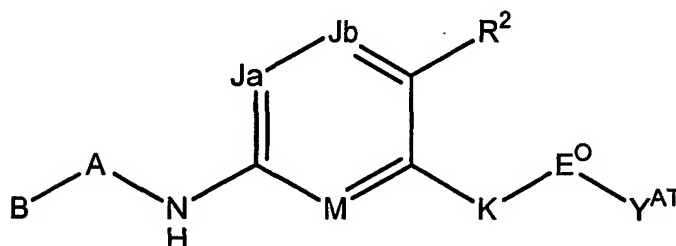
$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that no more than one of  $R^{20}$  and  $R^{21}$  is selected from the group consisting of hydroxy, amino, alkylamino,



and dialkylamino at the same time and with the further proviso that no more than one of  $R^{23}$  and  $R^{24}$  is selected from the group consisting of hydroxy, amino, alkylamino, and dialkylamino at the same time;

5  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino.

99. The compound of claim 98 having the structure:



or a pharmaceutically acceptable salt thereof, wherein;

10 M is N or N→O;

B is selected from the group consisting of:

(i) phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to A is optionally substituted by  $R^{32}$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{36}$ , a carbon adjacent to  $R^{32}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{33}$ , a carbon adjacent to  $R^{36}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{35}$ , and any carbon adjacent to both  $R^{33}$  and  $R^{35}$  is optionally substituted by  $R^{34}$ ;

25 (ii) hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butyne, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl,

2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptyl, 3-heptyl, 4-heptyl, 5-heptyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ; and

(iii) cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 2-tetrahydrofuran-2-yl, 3-tetrahydrofuran-3-yl, 2-tetrahydropyran-2-yl, 3-tetrahydropyran-3-yl, 4-tetrahydropyran-4-yl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with

$R^{10}$ , and a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methoxyamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidossulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and  $Q^b$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, N-benzylamidocarbonyl, N-(2-chlorobenzyl)amidocarbonyl, N-(3-fluorobenzyl)amidocarbonyl, N-(2-trifluoromethylbenzyl)amidocarbonyl, N-(1-phenylethyl)amidocarbonyl, N-(1-methyl-1-phenylethyl)amidocarbonyl, N-benzylamidossulfonyl, N-(2-chlorobenzyl)amidossulfonyl, N-ethylamidocarbonyl, N-isopropylamidocarbonyl, N-propylamidocarbonyl, N-isobutylamidocarbonyl, N-(2-butyl)amidocarbonyl, N-cyclobutylamidocarbonyl, N-cyclopentylamidocarbonyl, N-cyclohexylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino,

dimethylamino, methoxyamino, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, methanesulfonamido, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

5 A is selected from the group consisting of a bond, NH, N(CH<sub>3</sub>), CH<sub>2</sub>, CH<sub>3</sub>CH, CH<sub>2</sub>CH<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>;

Ja is N or C-X<sup>0</sup>;

Jb is N or C-R<sup>1</sup>;

10 R<sup>1</sup> and X<sup>0</sup> are independently selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

15 R<sup>2</sup> is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment of said phenyl or heteroaryl ring to the pyridine ring is optionally substituted by R<sup>9</sup>, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>13</sup>, a carbon adjacent to R<sup>9</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>10</sup>, a carbon adjacent to R<sup>13</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>12</sup>, and any carbon adjacent to both R<sup>10</sup> and R<sup>12</sup> is optionally substituted by R<sup>11</sup>;

25 Y<sup>AT</sup> is Q<sup>b</sup>-Q<sup>s</sup>;

Q<sup>s</sup> is selected from the group consisting of:

30 C[R<sup>37</sup>(benzoyl)(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>], C[R<sup>37</sup>(2-pyridylcarbonyl)(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>], C[R<sup>37</sup>(3-pyridylcarbonyl)(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>], C[R<sup>37</sup>(4-pyridylcarbonyl)(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>], C[R<sup>37</sup>(2-thienylcarbonyl)(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>], C[R<sup>37</sup>(3-thienylcarbonyl)(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>], C[R<sup>37</sup>(2-thiazolylcarbonyl)(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>], C[R<sup>37</sup>(4-thiazolylcarbonyl)(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>], and C[R<sup>37</sup>(5-thiazolylcarbonyl)(CR<sup>37</sup>R<sup>38</sup>)<sub>b</sub>], wherein b is an integer

selected from 1 through 3,  $R^{37}$  and  $R^{38}$  are independently selected from the group consisting of hydrido, alkyl, and haloalkyl, with the proviso that said benzoyl and the heteroaroyls are optionally substituted with one or more substituents selected from the group consisting of  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  with the proviso that  $R^{17}$  and  $R^{18}$  are optionally substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl or heteroaroyl, with the further proviso that said benzoyl or said heteroaroyl are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group, and with the still further proviso that is no more than one alkyl or one haloalkyl is bonded to a  $CR^{37}R^{38}$  at the same time;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, hydroxymethyl, carboxy, and cyano;

$Q^b$  is  $C(NR^{25})NR^{23}R^{24}$  or  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ ; and

$R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, methyl, and ethyl.

100. The compound of claim 99 or a pharmaceutically acceptable salt thereof, wherein;

M is  $N \rightarrow O$ ;

B is selected from the group consisting of:

(i) 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-

methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazolyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

(ii) hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl; and

(iii) cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-piperidinyl;

A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{CH}_2\text{CH}$ ,  $\text{CH}_2\text{CH}_2$ , and  $\text{CH}_2\text{CH}_2\text{CH}_2$ ;

Ja is N or  $\text{C-X}^0$ ;

Jb is N or  $\text{C-R}^1$ ;

$\text{R}^1$  and  $\text{X}^0$  are independently selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, fluoro, and chloro;

$\text{R}^2$  is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-

benzylamidodisulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidodisulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 5-diaminophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^{AT}$  is  $Q^b-Q^s$ ;

$Q^s$  is selected from the group consisting of:

[CH(benzoyl)]( $CH_2$ )<sub>b</sub>, [CH(2-pyridylcarbonyl)]( $CH_2$ )<sub>b</sub>, [CH(3-pyridylcarbonyl)]( $CH_2$ )<sub>b</sub>, [CH(4-pyridylcarbonyl)]( $CH_2$ )<sub>b</sub>, [CH(2-thienylcarbonyl)]( $CH_2$ )<sub>b</sub>, [CH(3-thienylcarbonyl)]( $CH_2$ )<sub>b</sub>, [CH(2-thiazolylcarbonyl)]( $CH_2$ )<sub>b</sub>, [CH(4-thiazolylcarbonyl)]( $CH_2$ )<sub>b</sub>, and [CH(5-thiazolylcarbonyl)]( $CH_2$ )<sub>b</sub>, wherein b is an integer

selected from 1 through 3, with the proviso that said benzoyl and said heteroaroyls are optionally substituted with one or more substituents selected from the group consisting of  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  with the proviso that  $R^{17}$  and  $R^{18}$  are optionally substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl or the heteroaroyl, and that said benzoyl or said heteroaroyl are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group;

$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ ;

$R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently hydrido or methyl.

101. The compound of claim 100 or a pharmaceutically acceptable salt thereof, wherein;

M is  $N \rightarrow O$ ;

B is selected from the group consisting of:

(i) 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, phenyl, 2-imidazolyl, 3-pyridyl, 4-pyridyl, and 3-trifluoromethyl-2-pyridyl;

(ii) hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 1-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-



dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl; and

(iii) cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and 1-piperidinyl;

A is selected from the group consisting of a bond,  $\text{CH}_2$ ,  $\text{CH}_2\text{CH}_2$  and  $\text{CH}_2\text{CH}_2\text{CH}_2$ ;

Ja is  $\text{C-X}^0$ ;

Jb is  $\text{C-R}^1$ ;

$\text{X}^0$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

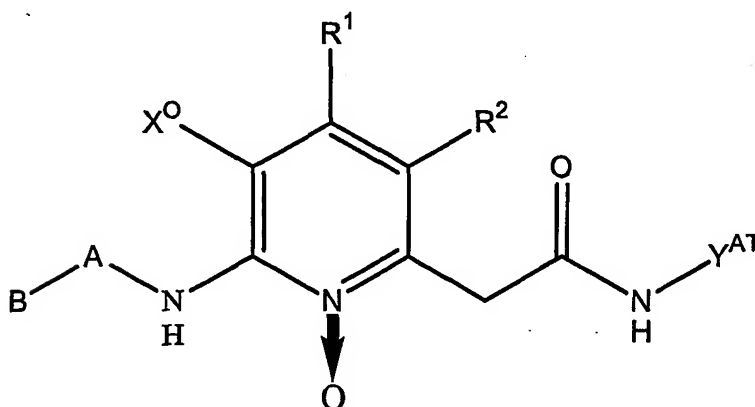
$\text{R}^1$  is selected from the group consisting of hydrido, hydroxy, hydroxymethyl, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

$\text{R}^2$  is selected from the group consisting of 3-amidocarbonyl-5-aminophenyl, 3-amino-5-(N-benzylamidocarbonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(3-fluorobenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(2-trifluoromethylbenzyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-(1-methyl-1-phenylethyl)amidocarbonyl)phenyl, 3-amino-5-(N-benzylamidosulfonyl)phenyl, 3-amino-5-(N-(2-chlorobenzyl)amidosulfonyl)phenyl, 3-amino-5-(N-ethylamidocarbonyl)phenyl, 3-amino-5-(N-isopropylamidocarbonyl)phenyl, 3-amino-5-(N-propylamidocarbonyl)phenyl, 3-amino-5-(N-isobutylamidocarbonyl)phenyl, 3-amino-5-(N-(2-butyl)amidocarbonyl)phenyl, 3-amino-5-(N-cyclobutylamidocarbonyl)phenyl, 3-amino-5-(N-

cyclopentylamidocarbonyl)phenyl, 3-amino-5-(N-cyclohexylamidocarbonyl)phenyl, 3-aminophenyl, 3-carboxy-5-aminophenyl, 3-chlorophenyl, 3,5-diaminophenyl, 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

$Y^{AT}$  is selected from the group consisting of 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(5-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-amino-2-thiazolyl)-2-pentyl, and 5-guanidino-1-oxo-1-phenyl-2-pentyl.

102. The compound of claim 98 wherein the compound is selected from the group consisting of:



or a pharmaceutically acceptable salt thereof, wherein;

$R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^o$  is chloro;

$R^2$  is 3,5-diaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,  $R^1$  is aminomethyl, and  $X^o$  is chloro;

$R^2$  is 3-carboxy-5-aminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl,

R<sup>1</sup> is aminomethyl, and X<sup>o</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is phenyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is aminomethyl, and X<sup>o</sup> is chloro;

5 R<sup>2</sup> is 3,5-diaminophenyl, B is isopropyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is aminomethyl, and X<sup>o</sup> is chloro;

10 R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is isopropyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is aminomethyl, and X<sup>o</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is aminomethyl, and X<sup>o</sup> is chloro;

15 R<sup>2</sup> is 3,5-diaminophenyl, B is cyclobutyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is aminomethyl, and X<sup>o</sup> is chloro;

20 R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is aminomethyl, and X<sup>o</sup> is chloro;

R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is aminomethyl, and X<sup>o</sup> is chloro;

25 R<sup>2</sup> is 3-aminophenyl, B is phenyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

30 R<sup>2</sup> is 3,5-diaminophenyl, B is phenyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is phenyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

35 R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is phenyl, A is CH<sub>2</sub>CH<sub>2</sub>, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

R<sup>2</sup> is 3,5-diaminophenyl, B is isopropyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

5 R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is isopropyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

10 R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is isopropyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

R<sup>2</sup> is 3,5-diaminophenyl, B is cyclobutyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

15 R<sup>2</sup> is 3-carboxy-5-aminophenyl, B is cyclobutyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido;

R<sup>2</sup> is 3-amino-5-(N-benzylamidocarbonyl)phenyl, B is cyclobutyl, A is single bond, Y<sup>AT</sup> is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, R<sup>1</sup> is chloro, and X<sup>o</sup> is hydrido.

20 103. A composition for inhibiting thrombotic conditions in blood comprising a compound of each of claims 2, 3, 12, 66, 73, 81, 89, 97, or 102 and a pharmaceutically acceptable carrier.

25 104. A method for inhibiting thrombotic conditions in blood comprising adding to blood a therapeutically effective amount of the composition of claim 103.

30 105. A method for inhibiting formation of blood platelet aggregates in blood comprising adding to blood a therapeutically effective amount of the composition of claim 103.

106. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically

effective amount of the composition of claim 103.

107. A method for treating or preventing venous thromboembolism and pulmonary embolism in a mammal comprising administering to the mammal a therapeutically effective amount of the composition of claim 103.

108. A method for treating or preventing deep vein thrombosis in a mammal comprising administering to the mammal a therapeutically effective amount of the composition of claim 103.

109. A method for treating or preventing cardiogenic thromboembolism in a mammal comprising administering to the mammal a therapeutically effective amount of the composition of claim 103.

110. A method for treating or preventing thromboembolic stroke in humans and other mammals comprising administering to the mammal a therapeutically effective amount of the composition of claim 103.

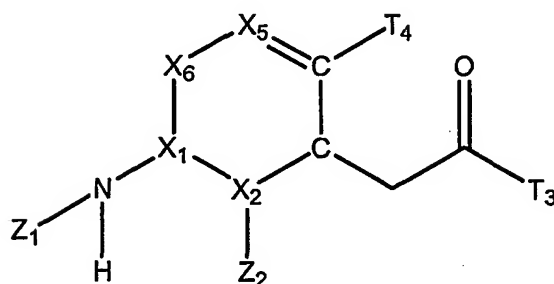
111. A method for treating or preventing thrombosis associated with cancer and cancer chemotherapy in humans and other mammals comprising administering to the mammal a therapeutically effective amount of the composition of claim 103.

112. A method for treating or preventing unstable angina in humans and other mammals comprising administering to the mammal a therapeutically effective amount of the composition of claim 103.

113. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a compound of each of claims 2, 3,

12, 66, 73, 81, 89, 97, or 102 with a therapeutically effective amount of fibrinogen receptor antagonist.

114. A compound having the structure:



5 wherein

$X_1$ ,  $X_2$ ,  $X_5$ , and  $X_6$  are members of a heterocyclic or aromatic core ring,

$X_1$  and  $X_2$  are independently carbon or nitrogen,

10  $X_5$  and  $X_6$  are independently carbon, nitrogen, oxygen or sulfur, provided when  $X_5$  is carbon it is  $-CH=$ ,  $-C(F)=$  or  $-C(Br)=$ ;

$T_3$  is hydroxy, alkoxy, substituted alkoxy, or substituted amino;

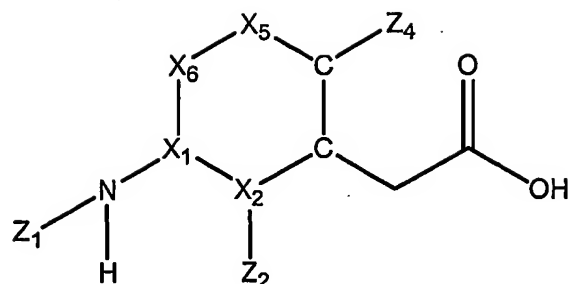
15  $T_4$  is  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-S(CH_3)$ , or  $-OSO_2(CF_3)$ ;

$Z_1$  is hydrocarbyl, or substituted hydrocarbyl; and

$Z_2$  is a hydrogen bond acceptor covalently or datively bonded to  $X_2$ .

115. A compound having the structure:

429



wherein

$X_1$ ,  $X_2$ ,  $X_5$ , and  $X_6$  are members of a heterocyclic or aromatic core ring,

$X_1$  and  $X_2$  are independently carbon or nitrogen,

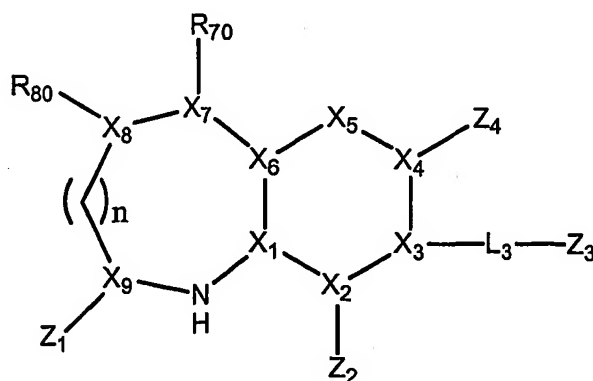
5  $X_5$  and  $X_6$  are independently carbon, nitrogen, oxygen or sulfur, provided when  $X_5$  is carbon it is  $-CH=$ ,  $-C(F)=$  or  $-C(Br)=$ ;

10  $Z_4$  comprises hydrocarbyl, substituted hydrocarbyl or a 5 or 6 membered heterocyclic or carbocyclic ring, the ring atoms of the 5 or 6 membered heterocyclic or carboxylic ring of  $Z_4$  being carbon, nitrogen, oxygen, or sulfur;

$Z_1$  is hydrocarbyl, or substituted hydrocarbyl; and

15  $Z_2$  is a hydrogen bond acceptor covalently or datively bonded to  $X_2$ .

116. A compound having the structure:



430

Wherein

$Z_1$ ,  $Z_2$ ,  $Z_3$ ,  $Z_4$ ,  $L_3$ ,  $X_1$ ,  $X_2$ ,  $X_3$ ,  $X_4$ , and  $X_5$  are as defined in claim 1;

$X_6$  is independently carbon or nitrogen;

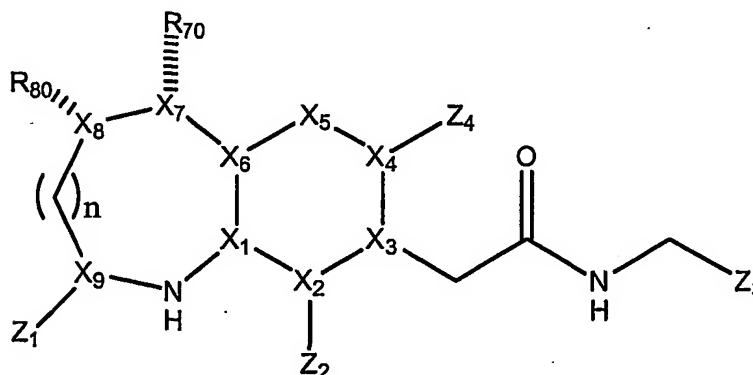
$X_7$  and  $X_8$  are independently a covalent bond, carbon, nitrogen, oxygen or sulfur;

$X_9$  is carbon substituted with a methylene group or carbon substituted with an ethylene group wherein said methylene or ethylene group covalently links  $X_9$  and  $Z_1$ ;

$n$  is 0 to 2; and

$R_{70}$  and  $R_{80}$  are independently selected from the group consisting of hydrogen, halogen, amino, hydrocarbyl, substituted hydrocarbyl, aryl, wherein aryl is phenyl either unsubstituted or substituted with hydroxy, amino, C1-C6 alkyl, C3-C8 cycloalkyl, or halogen provided that  $R_{70}$  is not present when  $X_7$  is a bond and  $R_{80}$  is not present when  $X_8$  is a bond; or  $R_{70}$  and  $R_{80}$ , along with the ring atoms to which each is attached, form a 5 or 6 membered saturated ring.

117. A compound having the structure:



wherein

$Z_1$ ,  $Z_2$ ,  $Z_3$ ,  $Z_4$ ,  $X_1$ ,  $X_2$ ,  $X_3$ ,  $X_4$ , and  $X_5$  are as defined in claim 1;

$X_6$  is independently carbon or nitrogen;

$X_7$  and  $X_8$  are independently a covalent bond, carbon, nitrogen, oxygen or sulfur;



$X_9$  is carbon substituted with a methylene group or carbon substituted with an ethylene group wherein said methylene or ethylene group covalently links  $X_9$  and  $Z_1$ ;

$n$  is 0 to 2; and

5         $R_{70}$  and  $R_{80}$  are independently selected from the group consisting of hydrogen, halogen, amino, hydrocarbyl, substituted hydrocarbyl, aryl, wherein aryl is phenyl either unsubstituted or substituted with hydroxy, amino, C1-C6 alkyl, C3-C8 cycloalkyl, or halogen provided that  $R_{70}$   
10        is not present when  $X_7$  is a bond and  $R_{80}$  is not present when  $X_8$  is a bond; or  $R_{70}$  and  $R_{80}$ , along with the ring atoms to which each is attached, form a 5 or 6 membered saturated ring.